

10/660,345

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NEWS	2	"Ask CAS" for self-help around the clock
NEWS	3	May 12 EXTEND option available in structure searching
NEWS	4	May 12 Polymer links for the POLYLINK command completed in REGISTRY
NEWS	5	May 27 New UPM (Update Code Maximum) field for more efficient patent SDIs in Cplus
NEWS	6	May 27 Cplus super roles and document types searchable in REGISTRY
NEWS	7	Jun 28 Additional enzyme-catalyzed reactions added to CASREACT
NEWS	8	Jun 28 ANTE, AQUALINE, BIOENG, CIVILENG, ENVIROENG, MECHENG, and WATER from CSA now available on STN(R)
NEWS	9	Jul 12 BEILSTEIN enhanced with new display and select options, resulting in a closer connection to BABS
NEWS	10	Jul 30 BEILSTEIN on STN workshop to be held August 24 in conjunction with the 228th ACS National Meeting
NEWS EXPRESS		MARCH 31 CURRENT WINDOWS VERSION IS V7.00A, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 26 APRIL 2004
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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 14:37:56 ON 30 JUL 2004

=> s ?2-amino-1-cyclohexanecarboxylate or ?aminoesters or ?enamino esters or enaminolactones

THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE

Some commands only work in certain files. For example, the EXPAND command can only be used to look at the index in a file which has an index. Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of commands which can be used in this file.

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=> file caplus
COST IN U.S. DOLLARS
FULL ESTIMATED COST

SINCE FILE ENTRY	TOTAL SESSION
1.05	1.05

FILE 'CAPLUS' ENTERED AT 14:40:42 ON 30 JUL 2004
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FILE COVERS 1907 - 30 Jul 2004 VOL 141 ISS 6
FILE LAST UPDATED: 29 Jul 2004 (20040729/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s ?2-amino-1-cyclohexanecarboxylate or ?aminoesters or ?enamino esters or enaminolactones

'?2' NOT LONG ENOUGH FOR LEFT TRUNCATION

You have entered a truncated stem whose length is less than the minimum allowed for left truncation in the requested search field. You may increase the length of the stem to the minimum allowed and try again. Enter HELP SFIELDS to find the minimum stem length for left truncation in the requested search field.

=> s cis-2-amino-1-cyclohexanecarboxylate or ?aminoesters or ?enamino esters or enaminolactones or beta-2-amino-1-cyclohexane?

199497 CIS
8104366 2
986861 AMINO
42 AMINOS
986878 AMINO
(AMINO OR AMINOS)
7962347 1
1657 CYCLOHEXANECARBOXYLATE
309 CYCLOHEXANECARBOXYLATES
1800 CYCLOHEXANECARBOXYLATE
(CYCLOHEXANECARBOXYLATE OR CYCLOHEXANECARBOXYLATES)
3 CIS-2-AMINO-1-CYCLOHEXANECARBOXYLATE
(CIS (W) 2 (W) AMINO (W) 1 (W) CYCLOHEXANECARBOXYLATE)
227 ?AMINOESTERS
2209 ?ENAMINO
408660 ESTERS
2 ESTERSES
408661 ESTERS
(ESTERS OR ESTERSES)

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```

    227 ?ENAMINO ESTERS
        (?ENAMINO (W) ESTERS)
    5 ENAMINOLACTONES
1265413 BETA
    1329 BETAS
1265479 BETA
        (BETA OR BETAS)
8104366 2
    986861 AMINO
        42 AMINOS
    986878 AMINO
        (AMINO OR AMINOS)
7962347 1
    124950 CYCLOHEXANE?
        0 BETA-2-AMINO-1-CYCLOHEXANE?
            (BETA (W) 2 (W) AMINO (W) 1 (W) CYCLOHEXANE?)
L1      451 CIS-2-AMINO-1-CYCLOHEXANECARBOXYLATE OR ?AMINOESTERS OR ?ENAMINO
            ESTERS OR ENAMINOLACTONES OR BETA-2-AMINO-1-CYCLOHEXANE?
```

=> s l1 and (prepar? or synthes? or process or make or made or method)

```

1481770 PREPAR?
    110763 PREP
        1956 PREPS
    112524 PREP
        (PREP OR PREPS)
1874730 PREPD
    21 PREPDS
1874745 PREPD
        (PREPD OR PREPDS)
    97419 PREPG
        12 PREPGS
    97430 PREPG
        (PREPG OR PREPGS)
2491098 PREPN
    195623 PREPNS
2639473 PREPN
        (PREPN OR PREPNS)
4368506 PREPAR?
        (PREPAR? OR PREP OR PREPD OR PREPG OR PREPN)
1382302 SYNTHES?
1959176 PROCESS
1301256 PROCESSES
2913381 PROCESS
        (PROCESS OR PROCESSES)
    192823 MAKE
    148214 MAKES
    331804 MAKE
        (MAKE OR MAKES)
1103742 MADE
    24 MADES
1103762 MADE
        (MADE OR MADES)
2643837 METHOD
1114446 METHODS
3444485 METHOD
        (METHOD OR METHODS)
```

```

L2      406 L1 AND (PREPAR? OR SYNTHES? OR PROCESS OR MAKE OR MADE OR METHOD
        )
```

=> s l2 and (cataly? or reduc?)

10/660,345

1199624 CATALY?
1844600 REDUC?
815464 REDN
44145 REDNS
843129 REDN
(REDN OR REDNS)
2309783 REDUC?
(REDUC? OR REDN)
L3 98 L2 AND (CATALY? OR REDUC?)

=> s l2 and (cataly? or reduct?)

1199624 CATALY?
422348 REDUCT?
815464 REDN
44145 REDNS
843129 REDN
(REDN OR REDNS)
1080616 REDUCT?
(REDUCT? OR REDN)

L4 95 L2 AND (CATALY? OR REDUCT?)

=> dup rem l4 l3

PROCESSING COMPLETED FOR L4

PROCESSING COMPLETED FOR L3

L5 98 DUP REM L4 L3 (95 DUPLICATES REMOVED)

=> d l5 ibib hitstr abs 1-98

L5 ANSWER 1 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 1

ACCESSION NUMBER: 2004:354698 CAPLUS

DOCUMENT NUMBER: 140:375071

TITLE: Asymmetric **catalytic** hydrogenation
process for **preparation** of chiral
cyclic β - **aminoesters**

INVENTOR(S): Deerberg, Joerg; Mcleod, Douglas D.; Yue, Tai-yuen

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 18 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

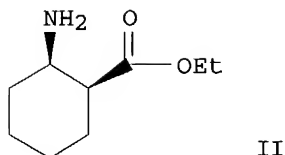
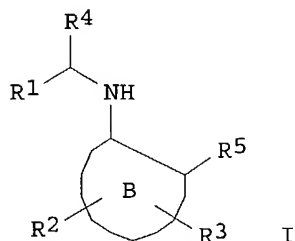
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004082795	A1	20040429	US 2003-660345	20030911
PRIORITY APPLN. INFO.:			US 2002-410897P	P 20020913
OTHER SOURCE(S):			CASREACT 140:375071; MARPAT 140:375071	

GI



AB A **catalytic** asym. hydrogenation **process** of an β -enamino ester to I [B = 4-7-membered non-aromatic carbocyclic or heterocyclic ring; R1 = Q, alk(en/yn)ylene; R2 = Q, alk(en/yn)ylene, etc.; Q = H, carbocycle, heterocycle; R3 = H, Cl, F, alk(en/yn)yl, Ph, etc.; R4 = H, alk(en/yn)yl; R5 = alkyloxy, carboxyl] is described. For example, Et (R)-2-[(1-phenylethyl)amino]-1-cyclohexene-1-carboxylate is reduced (EtOH, HOAc, H₂-PtO₂, 17.5 bar, 40°, 16 h) to give the syn- β -amino ester which is converted to the HBr salt (>99% diastereomeric excess) and debenzylated (MeOH, H₂-Pd/C, 7 bar, 40°, 16 h) to give II isolated as the HBr salt. Seven examples are described. The current **process** gives increased selectivity, higher yields and is more economical than prior art **methods**. I are useful as intermediates for MMP and TACE inhibitors.

L5 ANSWER 2 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 2

ACCESSION NUMBER: 2004:580297 CAPLUS

TITLE: Highly Efficient **Synthesis** of β -Amino Acid Derivatives via Asymmetric Hydrogenation of Unprotected Enamines

AUTHOR(S): Hsiao, Yi; Rivera, Nelo R.; Rosner, Thorsten; Krska, Shane W.; Njolito, Eugenia; Wang, Fang; Sun, Yongkui; Armstrong, Joseph D., III; Grabowski, Edward J. J.; Tillyer, Richard D.; Spindler, Felix; Malan, Christophe

CORPORATE SOURCE: Departments of Process Research and Analytical Research, Merck Research Laboratories, Merck and Co. Inc., Rahway, NJ, 07065, USA

SOURCE: Journal of the American Chemical Society (2004), 126(32), 9918-9919

CODEN: JACSAT; ISSN: 0002-7863

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A direct asym. hydrogenation of unprotected **enamino esters** and amides is described. **Catalyzed** by Rh complexes with Josiphos-type chiral ligands, this **method** gives β -amino esters and amides in high yield and high ee (93-97% ee). No acyl protection/deprotection is required.

REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 3

ACCESSION NUMBER: 2004:106745 CAPLUS

DOCUMENT NUMBER: 140:339088

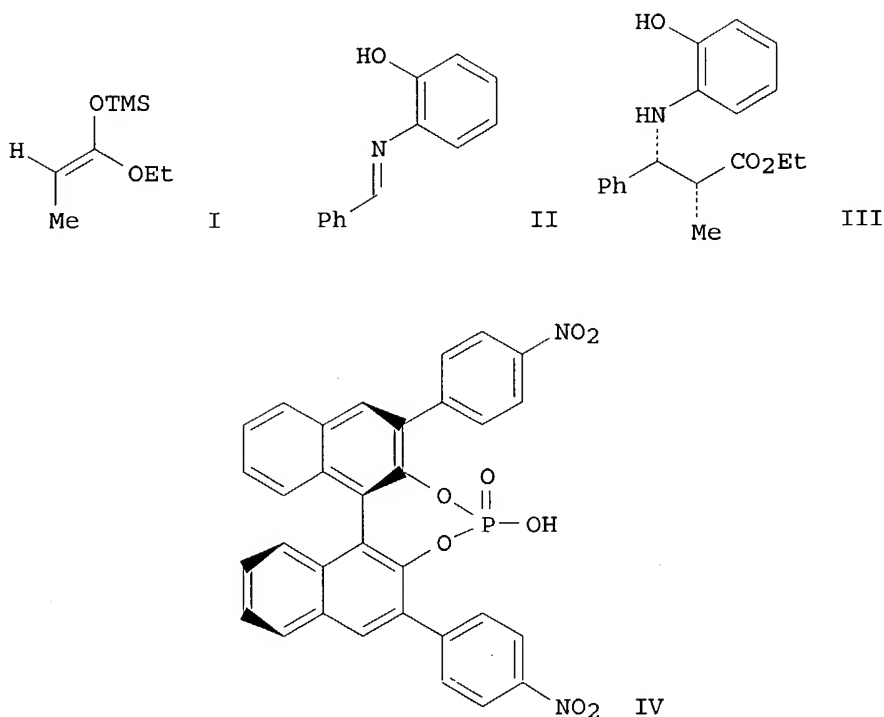
TITLE: **Synthesis** of β -lactams and β -aminoesters via high intensity ultrasound-promoted Reformatsky reactions

10/660,345

AUTHOR(S): Ross, Nathan A.; MacGregor, Robert R.; Bartsch, Richard A.
CORPORATE SOURCE: Department of Chemistry and Biochemistry, Texas Tech University, Lubbock, TX, 794091061, USA
SOURCE: Tetrahedron (2004), 60(9), 2035-2041
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Reformatsky reactions of an imine, an α -bromoester, zinc dust and a **catalytic** amount of iodine in dioxane under high intensity ultrasound (HIU) irradiation from an ultrasonic probe are explored. A series of 16 aldimines with varying electronic demands is evaluated as potential electrophiles for reactions with three α -bromoesters of differing steric demands. This HIU **method** is successful for both enolizable and non-enolizable imines affording in short reaction times high yields of a β -lactam, the corresponding β -aminoester or a mixture of the two products depending on the identity of the imine and α -bromoester.

REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 4
ACCESSION NUMBER: 2004:279336 CAPLUS
DOCUMENT NUMBER: 141:6902
TITLE: Enantioselective Mannich-type reaction
catalyzed by a chiral Bronsted acid
AUTHOR(S): Akiyama, Takahiko; Itoh, Junji; Yokota, Koji; Fuchibe, Kohei
CORPORATE SOURCE: Department of Chemistry, Faculty of Science, Gakushuin University, Toshima-ku, Tokyo, 171-8588, Japan
SOURCE: Angewandte Chemie, International Edition (2004); 43(12), 1566-1568
CODEN: ACIEF5; ISSN: 1433-7851
PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



AB The Mannich-type reaction of ketene silyl acetals, e.g., I, with aldimines, e.g., II, proceeded highly enantioselectively to afford the syn isomer of β amino esters, e.g., III, with up to 96% ee under the influence of a chiral Bronsted acid IV derived from (R)-BINOL.

REFERENCE COUNT: 58 THERE ARE 58 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 5

ACCESSION NUMBER: 2004:205336 CAPLUS

DOCUMENT NUMBER: 140:391327

TITLE: Acylation of alkyl halides and amino aldehydes with a phosphane oxide-based di-synthon

AUTHOR(S): Bruenjes, Marco; Kujat, Christof; Monenschein, Holger; Kirschning, Andreas

CORPORATE SOURCE: Institut fuer Organische Chemie, Universitaet Hannover, Hannover, 30167, Germany

SOURCE: European Journal of Organic Chemistry (2004), (5), 1149-1160

CODEN: EJOCFK; ISSN: 1434-193X

PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Alkyl iodides and α -amino aldehydes were homologated to the corresponding Me esters and β -amino Me esters, including β -amino- α -hydroxy Me esters, using lithiated (dimethoxymethyl)diphenylphosphine oxide, which was alkylated by alkyl iodides or α -aminoaldehydes with subsequent hydrolysis. Lithiation of $\text{Ph}_2\text{POCH}(\text{OMe})_2$ (4) followed by reaction with RI or $\text{C}_8\text{H}_{17}\text{OTf}$ gave alkylated products $\text{Ph}_2\text{POCR}(\text{OMe})_2$ (13-17; R = C_8H_{17} , $\text{CH}_2\text{:CHCH}_2$, PhCH_2 , $\text{TBDPSoCH}_2\text{CHMeCH}_2$, $\text{TBDPSoCH}_2\text{CHMeCH}_2\text{CH}_2$; TBDPs = tBuPh_2Si), which were

converted to corresponding esters RCO_2Me by acid-catalyzed hydrolysis. Addition of lithiated 4 to $\text{PhCH}_2\text{CH}(\text{NR}_1\text{R}_2)\text{CHO}$ gave hydroxyaminophosphinioxides $\text{PhCH}_2\text{CH}(\text{NR}_1\text{R}_2)\text{CH}(\text{OH})\text{C}(\text{OMe})_2\text{POPh}_2$; elimination of the phosphinoxide group promoted by KOtBu gave keteneacetals $\text{PhCH}_2\text{CH}(\text{NR}_1\text{R}_2)\text{CH}:\text{C}(\text{OMe})_2$, which were hydrolyzed to give β -aminoesters $\text{PhCH}_2\text{CH}(\text{NR}_1\text{R}_2)\text{CH}_2\text{CO}_2\text{Me}$ (31-33; $\text{R}_1 = \text{H}$, $\text{R}_2 =$ benzyloxycarbonyl; $\text{R}_1 = \text{R}_2 = \text{PhCH}_2$, allyl). The keteneacetals may either be allowed to react with water under acidic conditions to yield the β -amino Me esters, or may be treated under the Sharpless asym. dihydroxylation conditions to directly furnish the β -amino- α -hydroxy Me esters. Mechanistic studies of the hydrolysis reaction revealed that the diphenylphosphine oxide group is activated by protonation, and acts as the initial leaving group.

REFERENCE COUNT: 80 THERE ARE 80 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 6 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 6

ACCESSION NUMBER: 2004:223091 CAPLUS

TITLE: Investigation of the preparation of N-arylenamino esters

AUTHOR(S): Watson, Darrell G.; Dillin, Dennis R.; Wild, Elaina C.; Jewel, Angela M.

CORPORATE SOURCE: Department of Chemistry, University of Mary Hardin-Baylor, Belton, TX, 76513, USA

SOURCE: Abstracts of Papers, 227th ACS National Meeting, Anaheim, CA, United States, March 28-April 1, 2004 (2004), CHED-774. American Chemical Society: Washington, D. C.
CODEN: 69FGKM

DOCUMENT TYPE: Conference; Meeting Abstract

LANGUAGE: English

AB Several N-arylenamino esters were prepared and characterized as part of a continuing study that involves the preparation, characterization, and photochem. properties of a series of N-arylenamines. To optimize the yields of these N-arylenamino esters, two preparation methods were compared. The prepns. were conducted using microwave techniques and standard reflux methods with a variety of solvents. In the microwave prepns., an aniline, an alkyl acetoacetate, a catalytic amount of p-toluene sulfonic acid was mixed in xylene and absorbed on silica gel. The silica gel was heated in an open container in the microwave. In the standard reflux method, a mixture of the anilines, alkyl acetoacetates, and p-toluene sulfonic acid were refluxed in non-polar solvent to produce the products. The yields and limitations of these methods will be reported and discussed.

L5 ANSWER 7 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 7

ACCESSION NUMBER: 2004:131188 CAPLUS

DOCUMENT NUMBER: 140:321008

TITLE: $\text{Zn}(\text{ClO}_4)_2 \cdot 6\text{H}_2\text{O}$ as a powerful catalyst for the conversion of β -ketoesters into β -enamino esters

AUTHOR(S): Bartoli, Giuseppe; Bosco, Marcella; Locatelli, Manuela; Marcantoni, Enrico; Melchiorre, Paolo; Sambri, Letizia

CORPORATE SOURCE: Dipartimento di Chimica Organica "A. Mangini", Bologna, 40136, Italy

SOURCE: Synlett (2004), (2), 239-242
CODEN: SYNLES; ISSN: 0936-5214

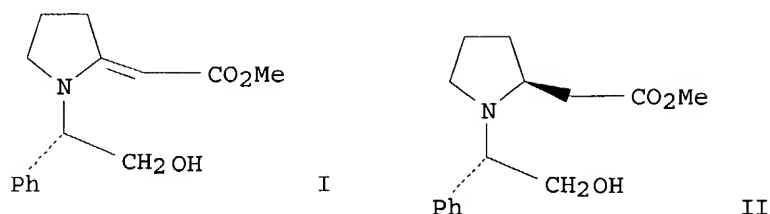
PUBLISHER: Georg Thieme Verlag

10/660,345

DOCUMENT TYPE: Journal
LANGUAGE: English
AB Zn(ClO₄)₂·6H₂O proved to be a very powerful **catalyst** for the condensation of primary and secondary amines with β-ketoesters to give N-substituted β- **enaminoesters**.
REFERENCE COUNT: 54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 8 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 8
ACCESSION NUMBER: 2003:597626 CAPLUS
DOCUMENT NUMBER: 139:323722
TITLE: Amberlite IR-120 **catalyzed** efficient **synthesis** of glycosyl enamines and their application
AUTHOR(S): Tewari, Neetu; Katiyar, Diksha; Tiwari, Vinod K.; Tripathi, Rama P.
CORPORATE SOURCE: Division of Medicinal Chemistry, Central Drug Research Institute, Lucknow, 226001, India
SOURCE: Tetrahedron Letters (2003), 44(35), 6639-6642
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:323722
AB β-Keto esters and acetyl acetone on condensation with glycosylated amino esters in the presence of IR-120 resin resulted in high yields of glycosyl **enamino esters** or ketones. The latter on cyclization with NaH in toluene at reflux gave 6-glycosyl-5,6-dihydro-1H-pyridin-4-ones in fair to good yields.
REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 9 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 9
ACCESSION NUMBER: 2003:598462 CAPLUS
DOCUMENT NUMBER: 139:276794
TITLE: Chiral heterocyclic β- **enamino esters**: convenient **synthesis** and diastereoselective **reduction**
AUTHOR(S): Calvet, Sandrine; David, Olivier; Vanucci-Bacque, Corinne; Fargeau-Bellassoued, Marie-Claude; Lhomme, Gerard
CORPORATE SOURCE: Laboratoire de Chimie des Heterocycles, Universite Pierre et Marie Curie, UMR 7611, Associe au CNRS, Paris, F-75252 05, Fr.
SOURCE: Tetrahedron (2003), 59(33), 6333-6339
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:276794
GI



AB The **preparation** of chiral pyrrolidine and piperidine β -**enamino esters** starting from ω -halo β -keto esters, their diastereoselective **reduction**, and the subsequent cleavage of the chiral auxiliary are described. E.g., reaction of $\text{ClCH}_2\text{CH}_2\text{CH}_2\text{COCH}_2\text{CO}_2\text{Me}$ with (S)-phenylglycinol gave pyrrolidine derivative I. Diastereoselective **catalytic** hydrogenation of I gave pyrrolidine II.

REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 10 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 10

ACCESSION NUMBER: 2003:522779 CAPLUS

DOCUMENT NUMBER: 139:260936

TITLE: A facile enantioselective **synthesis** of 2-(2-aminoethyl)allylsilanes, new synthons for piperidine **synthesis**

AUTHOR(S): Monfray, Jeremy; Gelas-Mialhe, Yvonne; Gramain, Jean-Claude; Remuson, Roland

CORPORATE SOURCE: Laboratoire de Synthèse Et Etude de Systèmes à Interêt Biologique (SEESIB), Université Blaise Pascal (Clermont-Ferrand), CNRS UMR 6504, Aubière, 63177, Fr.

SOURCE: Tetrahedron Letters (2003), 44(31), 5785-5787

CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 139:260936

AB The **synthesis** of chiral (2-substituted-2-aminoethyl)allylsilanes by cerium mediated trimethylsilylmethylmagnesium chloride addition to the ester group of non racemic chiral β - **aminoesters** is described.

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 11 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 11

ACCESSION NUMBER: 2003:113730 CAPLUS

DOCUMENT NUMBER: 138:303914

TITLE: Chiral ligand-controlled asymmetric conjugate addition of lithium amides to enoates

AUTHOR(S): Doi, Hirohisa; Sakai, Takeo; Iguchi, Mayu; Yamada, Kenichi; Tomioka, Kiyoshi

CORPORATE SOURCE: Graduate School of Pharmaceutical Sciences, Kyoto University, Kyoto, 606-8501, Japan

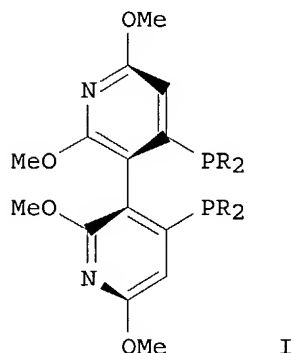
SOURCE: Journal of the American Chemical Society (2003), 125(10), 2886-2887

CODEN: JACSAT; ISSN: 0002-7863

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English
 OTHER SOURCE(S): CASREACT 138:303914
 AB β -Amino esters are **prepared** enantioselectively in 61-99% yields and 73-97% ee by addition of lithium amides (generated from amines and butyllithium) to trans- α,β -unsatd. esters in the presence of (R,R)-1,2-dimethoxy-1,2-diphenylethane at -78° in toluene. The amount of amine added is important to assure high enantioselectivities; use of 1.5 equivalent of amine rather than 3.0 equivalent decreases the enantioselectivity of addition to tert-Bu trans-cinnamate from 93% ee to 82% ee. Chlorotrimethylsilane is an effective additive for enantioselective addition of lithium amides to unsatd. esters. In one case, use of 30 mol% of (R,R)-1,2-dimethoxy-1,2-diphenylethane as a nonracemic chiral ligand for the addition of lithium (trimethylsilyl)benzylamide to tert-Bu trans-cinnamate provided the corresponding β -amino ester in 75% yield and 70% ee.
 REFERENCE COUNT: 56 THERE ARE 56 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
 L5 ANSWER 12 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 12
 ACCESSION NUMBER: 2003:120381 CAPLUS
 DOCUMENT NUMBER: 138:303925
 TITLE: Ru-Catalyzed Highly Enantioselective Hydrogenation of β -Alkyl-Substituted β -(Acylamino)acrylates
 AUTHOR(S): Wu, Jing; Chen, Xuanhua; Guo, Rongwei; Yeung, Chi-hung; Chan, Albert S. C.
 CORPORATE SOURCE: Open Laboratory of Chirotechnology, Institute of Molecular Technology for Drug Discovery and Synthesis, The Hong Kong Polytechnic University, Peop. Rep. China
 SOURCE: Journal of Organic Chemistry (2003), 68(6), 2490-2493
 CODEN: JOCEAH; ISSN: 0022-3263
 PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 138:303925
 GI



AB β -Alkyl-substituted (E)- β -(acylamino)-acrylates $R_1C(AcNH):CHCO_2R_2$ ($R_1 = Me, Et, EtCH_2, Me_2CH, Me_3C$; $R_2 = Me, Et$) undergo enantioselective hydrogenation in the presence of the nonracemic bipyriddyldiphosphine I ($R = 3,5-Me_2C_6H_3$) and $[RuCl_2(benzene)]_2$ to provide

β - **aminoesters** $R_1CH(NHAc)CH_2CO_2R_2$ in up to 99.7% ee.
 (Z)- β -(acylamino)-acrylates $R_1C(ACNH):CHCO_2R_2$ ($R_1 = Me, Et, EtCH_2, Me_2CH, Me_3C$; $R_2 = Me, Et$) undergo enantioselective hydrogenation in the presence of nonracemic bipyridyldiphosphine I ($R = 3,5-Me_2C_6H_3$) and $Rh(COD)2BF_4$ to provide β - **aminoesters** $R_1CH(NHAc)CH_2CO_2R_2$ in 57-82% ee. Hydrogenation does not occur in the presence of ruthenium or rhodium complexes of I ($R = Ph, 4-MeC_6H_4, 3,5-Me_2C_6H_3$) in aprotic solvents; methanol is found to be the optimal solvent. Decreasing the hydrogen pressure increases the enantioselectivity marginally, with 4 atmospheric

of hydrogen pressure being optimal. Ruthenium complexes of I give higher enantioselectivities for hydrogenation of (E)- β -aminoacrylates than the corresponding rhodium complexes; for the hydrogenation of (Z)- β -aminoacrylates, rhodium complexes of I give higher enantioselectivities than the corresponding ruthenium complexes. Variations in the electronic and steric properties of the dipyridylphosphine ligand, variation of the transition metal used, and variations in the enamine stereochem. influence the rate and enantioselectivity of the hydrogenation of β -(acylamino)acrylates.

REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 13 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 13

ACCESSION NUMBER: 2003:891768 CAPLUS

DOCUMENT NUMBER: 140:76826

TITLE: Lewis base-**catalyzed** Mannich-type reaction between aldimine and trimethylsilyl enolate

AUTHOR(S): Fujisawa, Hidehiko; Takahashi, Eiki; Nakagawa, Takashi; Mukaiyama, Teruaki

CORPORATE SOURCE: Center for Basic Research, The Kitasato Institute (TCl), Tokyo, 114-0003, Japan

SOURCE: Chemistry Letters (2003), 32(11), 1036-1037

CODEN: CMLTAG; ISSN: 0366-7022

PUBLISHER: Chemical Society of Japan

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 140:76826

AB Lithium benzamide- or potassium phthalimide-**catalyzed** Mannich-type reaction between trimethylsilyl enolates and aldimines proceeded smoothly in a DMF solvent to afford the corresponding β -amino esters in good to high yields. Addition of $ArCH:NTs$ to $Me_2C:C(OMe)(OSiMe_3)$ in the presence of the base gave $ArCH(NHTs)CMe_2CO_2Me$ ($Ar = 4-RC_6H_4$, $R = H, Cl, CN, NO_2, OMe, Me_2N$; $Ar = 4$ -pyridyl). The same reaction of $PhCH:NTs$ with $R_1R_2C:CX(OSiMe_3)$ ($R_1 = R_2 = Me$; $R_1 = H, R_2 = Me$; $R_1 = Me, R_2 = H$; $X = StBu, OMe, Ph$) gave the corresponding β -tosylamino carbonyl compds. with moderate anti/syn diastereoselectivity.

REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 14 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 14

ACCESSION NUMBER: 2003:908656 CAPLUS

DOCUMENT NUMBER: 140:181738

TITLE: A novel L-neopentylglycine derivative as auxiliary for copper-**catalyzed** asymmetric Michael reactions

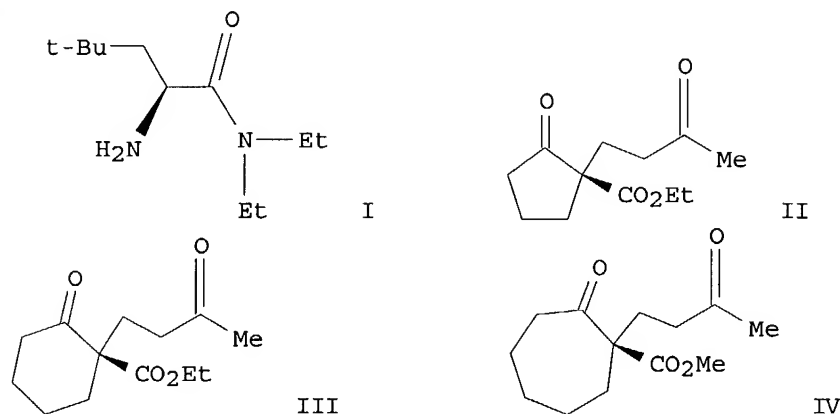
AUTHOR(S): Christoffers, Jens; Schuster, Katja

CORPORATE SOURCE: Institut fuer Organische Chemie, Universitaet Stuttgart, Stuttgart, Germany

SOURCE: Chirality (2003), 15(9), 777-782

PUBLISHER:
DOCUMENT TYPE:
LANGUAGE:
GI

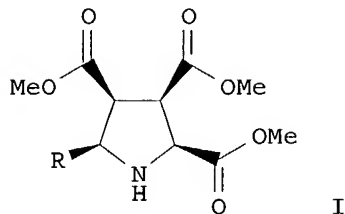
CODEN: CHRLEP; ISSN: 0899-0042
Wiley-Liss, Inc.
Journal
English



AB L-Neopentylglycine diethylamide (I) was **prepared** from the new unnatural amino acid L-neopentylglycine. The utilization of I as a chiral auxiliary in the copper(II)-**catalyzed** asym. Michael reaction was investigated in comparison with L;-valine diethylamide. Cyclic β -oxocarboxylates react with I and L-valine diethylamide to give **enaminoesters**, which were converted with Me vinyl ketone in the presence of 10 mol% Cu(OAc) $_2$ ·H $_2$ O at room temperature in acetone to yield the optically active Michael addition products (R)-II and III with high selectivity independent of the starting enamine. In the case of the seven-membered β -oxocarboxylate, however, the valine-derived enamine led to higher enantioselectivity for product IV. Despite the bulkiness of the neopentyl group, the iso-Pr group with an α -branch has a better stereoinducing effect.

REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 15 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 15
ACCESSION NUMBER: 2002:803342 CAPLUS
DOCUMENT NUMBER: 138:73139
TITLE: Highly Enantioselective Ag(I)-**Catalyzed** [3 + 2] Cycloaddition of Azomethine Ylides
AUTHOR(S): Longmire, James M.; Wang, Bin; Zhang, Xumu
CORPORATE SOURCE: Department of Chemistry, Pennsylvania State University, University Park, PA, 16802, USA
SOURCE: Journal of the American Chemical Society (2002), 124(45), 13400-13401
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:73139
GI



AB A highly reactive Ag(I)-catalyzed [3 + 2] cycloaddn. of azomethine ylides is founded using AgOAc as the **catalytic** precursor and phosphines as ligands. Using a new bis-ferrocenyl amide phosphine (FAP) as the ligand, the authors found that high enantioselectivities (up to 97% ee) have been achieved in the [3 + 2] cycloaddn. of azomethine ylides, generated from imines RCH:NCH₂CO₂Me (R = Ph, 4-MeOC₆H₄, Me₂CH, etc.), with dipolarophiles, e.g. di-Me maleate, Me acrylate, and N-methylmaleimide, giving pyrrolidines I (R = Ph, 1-naphthyl, cyclohexyl, etc.). Up to four stereogenic centers can be established in this multicomponent coupling reaction from readily available materials such as aldehydes, **aminoesters**, and dipolarophiles.

REFERENCE COUNT: 55 THERE ARE 55 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 16 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 16

ACCESSION NUMBER: 2002:398794 CAPLUS

DOCUMENT NUMBER: 137:140260

TITLE: New Strategy for the Stereoselective **Synthesis** of Fluorinated β -Amino Acids

AUTHOR(S): Fustero, Santos; Pina, Belen; Salavert, Esther; Navarro, Antonio; Ramirez de Arellano, M. Carmen; Simon Fuentes, Antonio

CORPORATE SOURCE: Departamento de Quimica Organica, Facultad de Farmacia, Universidad de Valencia, Burjassot, 46100, Spain

SOURCE: Journal of Organic Chemistry (2002), 67(14), 4667-4679
CODEN: JOCEAH; ISSN: 0022-3263

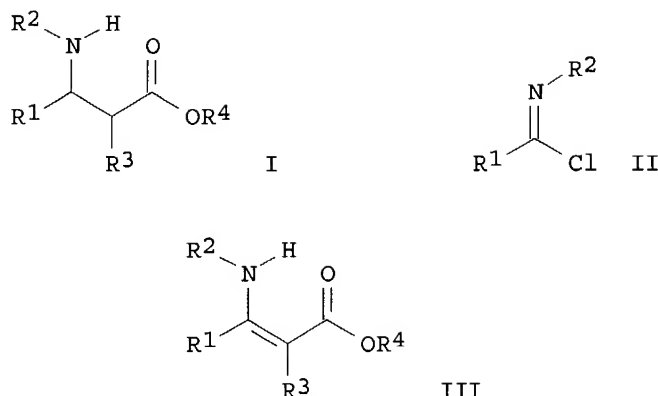
PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 137:140260

GI



AB Racemic and chiral nonracemic β -fluoroalkyl β -amino acids and esters I [R1 = F3C, F2CCl, F3CCF2, C8F17; R2 = 4-MeOC6H4, cyclohexyl, (S)-PhCHMe, (S)-1-cyclohexylethyl; R3 = H, Me, Et; R4 = H, Me, (-)-menthyl, etc.] were **synthesized** in two steps starting from fluorinated imidoyl chlorides II and ester enolates. This approach was based on the chemical **reduction** of previously obtained γ -fluorinated β - **enamino esters** III using ZnI2/NaBH4 in a nonchelated aprotic medium (dry CH2Cl2) as the reducing agent. A metal-chelated six-membered model was suggested to explain the stereochem. outcome of the **reduction** reaction. The transformations occurred in high yields and with moderate to good diastereoselectivities. The best results related to diastereoselective **reduction** of chiral β - **enamino esters** III were provided by the use of (-)-8-phenylmenthol as a chiral auxiliary.

REFERENCE COUNT: 62 THERE ARE 62 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 17 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 17

ACCESSION NUMBER: 2002:866100 CAPLUS

DOCUMENT NUMBER: 138:106563

TITLE: **Synthesis** of Enantiomerically Pure
2,2,3,4,5-Pentasubstituted Pyrrolidines by
Phenylsulfanyl Migration

AUTHOR(S): Baldwin, I. Craig; Briner, Paul; Eastgate, Martin D.;
Fox, David J.; Warren, Stuart

CORPORATE SOURCE: OSI Pharmaceuticals, Cowley, Oxford, OX4 5LY, UK

SOURCE: Organic Letters (2002), 4(25), 4381-4384

CODEN: ORLEF7; ISSN: 1523-7060

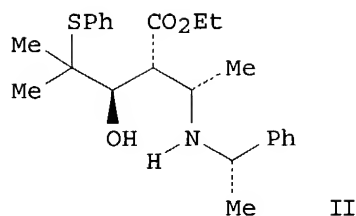
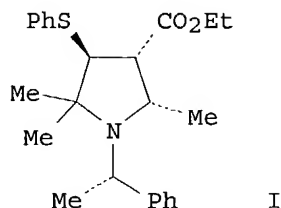
PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 138:106563

GI



AB Enantiomerically pure 2,2,3,4,5-pentasubstituted pyrrolidines, e.g., I, can be **prepared**, in high overall yield, from α,β -unsatd. esters. Asymmetry is introduced via a Michael addition, and addnl. stereogenic centers are introduced by an aldol reaction. A novel stereospecific ring-forming reaction, proceeding via a thiiranium (episulfonium) ion, yields pyrrolidines from β -hydroxy sulfides, e.g., II. In this manner, 2,2,3,4,5-pentasubstituted pyrrolidines, containing three contiguous stereogenic centers around the ring, can be **prepd** in 44% overall yield from Et crotonate.

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 18 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 18
 ACCESSION NUMBER: 2002:291151 CAPLUS
 DOCUMENT NUMBER: 137:5828
 TITLE: A Study of Aryl Radical Cyclization in Enaminone Esters
 AUTHOR(S): Navarro-Vazquez, Armando; Garcia, Alberto; Dominguez, Domingo
 CORPORATE SOURCE: Facultad de Quimica, Departamento de Quimica Organica y Unidad Asociada al CSIC, Universidad de Santiago de Compostela, Santiago de Compostela, 15782, Spain
 SOURCE: Journal of Organic Chemistry (2002), 67(10), 3213-3220
 CODEN: JOCEAH; ISSN: 0022-3263
 PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 137:5828

AB Aryl radical cyclization in N-Ph, N-benzyl, and N-phenethyl enaminone esters was studied. N-Benzyl and N-phenethyl enaminones afforded 5-exo and 6-exo cyclization products, resp., but radical cyclization did not occur in N-Ph enaminones. The rate consts. for the 5-exo and 6-exo cyclization **processes** in secondary enaminones were estimated as being .apprx.107 s⁻¹ at 353 K; since DNMR expts. showed the rate constant for rotation around the enaminone C3-N bond to be .apprx.104 s⁻¹ at this temperature, the initial enaminone configuration is maintained throughout the cyclization **process**. PM3 calcs. suggested that the nonoccurrence of endo and 4-exo cyclizations is due to the corresponding transition structures involving significant distortion of the conjugated enaminone system.

REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 19 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 19
 ACCESSION NUMBER: 2002:211734 CAPLUS
 DOCUMENT NUMBER: 137:109429
 TITLE: New glycosyl α -hydroxyesters as key intermediates in a convenient route to glycosyl α -aminoester chiroins

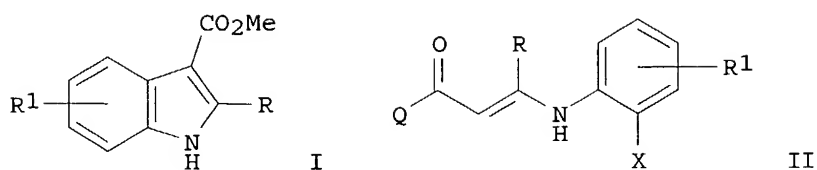
10/660,345

AUTHOR(S): Grison, Claude; Coutrot, Frederic; Coutrot, Philippe
CORPORATE SOURCE: Institut Nanceien de Chimie Moléculaire, UMR 7565, FR
CNRS 1742, Université Henri Poincaré, Nancy 1,
Vandoeuvre-les-Nancy, 54506, Fr.
SOURCE: Tetrahedron (2002), 58(14), 2735-2741
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:109429
AB This article examines the stereoselective **preparation** of glycosyl
 α -hydroxyesters via the asym. **reduction** of glycosyl
 α -ketoesters, using various chiral or achiral reagents and Bakers'
yeast. The diastereomeric excess could exceed 98% for the galacto-series.
These glycosyl α -hydroxyesters are used as chiral precursors for the
diastereoselective **synthesis** of glycosyl α -
aminoesters synthons.
REFERENCE COUNT: 78 THERE ARE 78 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 20 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 20
ACCESSION NUMBER: 2002:97743 CAPLUS
DOCUMENT NUMBER: 137:33179
TITLE: Immobilized α -diazophosphonoacetate as a
versatile key precursor for palladium
catalyzed indole **synthesis** on a
polymer support
AUTHOR(S): Yamazaki, Kazuo; Kondo, Yoshinori
CORPORATE SOURCE: Graduate School of Pharmaceutical Sciences, Tohoku
University, Aobayama, Aoba-ku, Sendai, 980-8578, Japan
SOURCE: Chemical Communications (Cambridge, United Kingdom)
(2002), (3), 210-211
CODEN: CHCOFS; ISSN: 1359-7345
PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 137:33179
AB Rh(II)-**catalyzed** N-H insertion reaction of immobilized
 α -diazophosphonoacetate with 2-haloanilines followed by
Horner-Emmons reaction gave immobilized **enaminoesters**, which
were efficiently cyclized to indoles via intramol. palladium
catalyzed reaction on a polymer support.
REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 21 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 21
ACCESSION NUMBER: 2002:159068 CAPLUS
DOCUMENT NUMBER: 136:340559
TITLE: Palladium-**Catalyzed Synthesis** of
Indole-3-Carboxylates on a Solid Polymer Support
AUTHOR(S): Yamazaki, Kazuo; Kondo, Yoshinori
CORPORATE SOURCE: Graduate School of Pharmaceutical Sciences, Tohoku
University, Aobayama Aoba-ku Sendai, 980-8578, Japan
SOURCE: Journal of Combinatorial Chemistry (2002), 4(3),
191-192
CODEN: JCCHFF; ISSN: 1520-4766
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:340559

GI



AB The indolecarboxylates I (R = H, Me; R1 = H, 5-Me, 6-F3C, 6-O2N) were prepared via Pd-catalyzed cyclization of the resin-bound enamino esters II (X = iodo, Br; Q = hydroxymethyl polystyrene resin) and subsequent NaOMe promoted resin cleavage.

REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 22 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 22

ACCESSION NUMBER: 2002:732461 CAPLUS

DOCUMENT NUMBER: 138:187392

TITLE: Easy synthesis of (E)- or (Z)-perfluorinated β - enaminoesters

AUTHOR(S): Prie, Gildas; Richard, Sebastien; Parrain, Jean-Luc; Duchene, Alain; Abarbri, Mohamed

CORPORATE SOURCE: Faculte des Sciences de Tours, Laboratoire de Physicochimie des Interfaces et des Milieux Reactionnels, Tours, 37200, Fr.

SOURCE: Journal of Fluorine Chemistry (2002), 117(1), 35-41
CODEN: JFLCAR; ISSN: 0022-1139

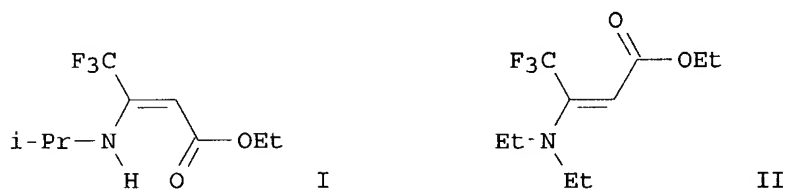
PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 138:187392

GI



AB (E)- or (Z)-perfluorinated β - enaminoesters, e.g. I and II, were prepared by direct addition of primary or secondary amines to Et perfluoroalkynoates without any catalyst.

REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 23 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 23

ACCESSION NUMBER: 2001:900174 CAPLUS

DOCUMENT NUMBER: 136:200030

TITLE: A new simple route for the synthesis of (\pm)-2-azetidinones starting from β -enaminoketoesters

10/660,345

AUTHOR(S): De Risi, Carmela; Pollini, Gian Piero; Veronese, Augusto C.; Bertolasi, Valerio
CORPORATE SOURCE: Dipartimento di Scienze Farmaceutiche, Ferrara, 44100, Italy
SOURCE: Tetrahedron (2001), 57(51), 10155-10161
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:200030
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB β -Enaminoketoesters I (R = Me, Et), obtained through metal-catalyzed reaction of Me acetoacetate with alkyl cyanoformates have been conveniently transformed into β -aminoesters II (R = Me, Et) and III by reduction of both the carbonyl group and the carbon-carbon double bond of the enaminoester moiety. These intermediates could be easily converted to (\pm)-2-azetidinones IV (R = Me, Et) and V structurally related to thienamycin in good yield and high diastereoselectivity.

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 24 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 24

ACCESSION NUMBER: 2001:627730 CAPLUS
DOCUMENT NUMBER: 135:331236
TITLE: 1,2-Aryl and 1,2-Hydride Migration in Transition Metal Complex Catalyzed Diazo Decomposition: A Novel Approach to α -Aryl- β -enamino Esters

AUTHOR(S): Jiang, Nan; Qu, Zhaohui; Wang, Jianbo
CORPORATE SOURCE: Key Laboratory of Bioorganic Chemistry and Molecular Engineering of Ministry of Education Department of Chemistry, Peking University, Beijing, 100871, Peop. Rep. China

SOURCE: Organic Letters (2001), 3(19), 2989-2992
CODEN: ORLEF7; ISSN: 1523-7060

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 135:331236

AB N-Tosyl diazoketamines were prepared by addition of the Et α -diazooacetate anion to N-sulfonylimines. The diazo decomposition of the diazoketamines with Rh₂(OAc)₄ complex resulted in aryl migration to give α -aryl- β -enamino esters in good yields and high stereoselectivity. The effect of the catalysts on the migratory aptitude of 1,2-aryl over 1,2-hydride migration was studied. A reaction mechanism involving a "bridged" phenonium ion is proposed.

REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 25 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 25

ACCESSION NUMBER: 2001:711299 CAPLUS

DOCUMENT NUMBER: 136:69589

TITLE: An improved synthesis of enantiopure

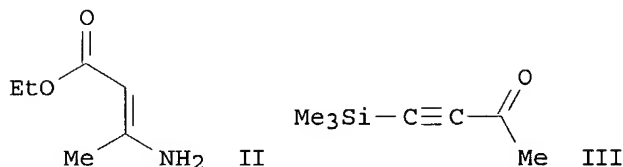
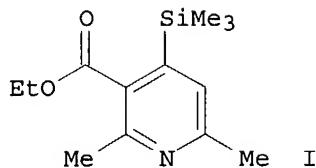
AUTHOR(S): β -amino acids
 Cimarelli, Cristina; Palmieri, Gianni; Volpini, Emanuela
 CORPORATE SOURCE: Dipartimento di Scienze Chimiche, Universita di Camerino, Camerino, I-62032, Italy
 SOURCE: Synthetic Communications (2001), 31(19), 2943-2953
 CODEN: SYNCAV; ISSN: 0039-7911
 PUBLISHER: Marcel Dekker, Inc.
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 136:69589
 AB An improved **method** for the **preparation** of both enantiopure β -amino acids is presented. The diastereomer benzyl β -amino esters, obtained by stereoselective **reduction** of β -**enamino esters**, were separated and hydrogenolyzed to the free enantiopure β -amino acids.
 REFERENCE COUNT: 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 26 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 26
 ACCESSION NUMBER: 2001:711618 CAPLUS
 DOCUMENT NUMBER: 136:20174
 TITLE: Diastereoselective **reduction** of chiral **enaminolactones**: a short and convenient route to enantiopure (+)-tashiromine
 AUTHOR(S): David, Olivier; Bellec, Christian; Fargeau-Bellassoued, Marie-Claude; Lhommet, Gerard
 CORPORATE SOURCE: Laboratoire de Chimie des Heterocycles (UMR 7611), Universite Pierre et Marie Curie, Paris, 75252, Fr.
 SOURCE: Heterocycles (2001), 55(9), 1689-1701
 CODEN: HTCYAM; ISSN: 0385-5414
 PUBLISHER: Japan Institute of Heterocyclic Chemistry
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 136:20174
 AB Some chiral β -enamino lactones were reduced **catalytically** or chemical with good to moderate diastereoselectivity owing to a chiral induction originated from (S)- α -methylbenzylamine. The scope of the present methodol. has been extended to the **synthesis** of an indolizidine alkaloid: (+)-tashiromine. A **synthesis** of this natural product was achieved in a short and attractive manner (five steps from thiolactam) to enantiopure alkaloid in 25% overall yield.
 REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 27 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 27
 ACCESSION NUMBER: 2001:775803 CAPLUS
 DOCUMENT NUMBER: 136:167346
 TITLE: **Synthesis** of pyridines and pyrido[2,3-d]pyrimidines by the Lewis acid **catalyzed** Bohlmann-Rahtz heteroannulation reaction
 AUTHOR(S): Bagley, Mark C.; Dale, James W.; Hughes, David D.; Ohnesorge, Maren; Phillips, Nathan G.; Bower, Justin
 CORPORATE SOURCE: Department of Chemistry, Cardiff University, Cardiff, CF10 3TB, UK
 SOURCE: Synlett (2001), (10), 1523-1526
 CODEN: SYNLES; ISSN: 0936-5214
 PUBLISHER: Georg Thieme Verlag
 DOCUMENT TYPE: Journal

10/660,345

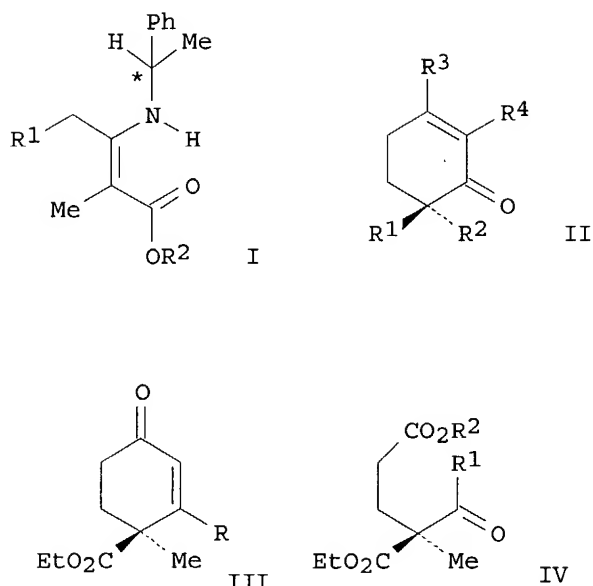
LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:167346
GI



AB Lewis acids **catalyze** the Bohlmann-Rahtz heteroannulation reaction to generate highly functionalized pyridines, e.g., I, from **enamino esters**, e.g., II, and alkynones, e.g., III, in a single synthetic step. Of the **catalysts** studied, ytterbium(III) trifluoromethanesulfonate and zinc(II) bromide are the two most efficient for the **synthesis** of pyridines and pyrido[2,3-d]pyrimidines, from II or 2,6-diaminopyrimidin-4-one resp., in up to 94% yield.

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 28 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 28
ACCESSION NUMBER: 2002:131876 CAPLUS
DOCUMENT NUMBER: 136:385757
TITLE: Michael reaction of acyclic β -**enaminoesters** derived from α -alkyl- β -ketoesters and chiral α -methylbenzylamine: stereoselective generation of quaternary carbon centers
AUTHOR(S): Maiti, Soumen; Ghoshal, Nanda; Mukhopadhyay, Ranjan; Achari, Basudeb; Banerjee, Asish Kr
CORPORATE SOURCE: Indian Institute of Chemical Biology, Calcutta, 700 032, India
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (2001), 40B(11), 1072-1080
CODEN: IJSBDB; ISSN: 0376-4699
PUBLISHER: National Institute of Science Communication
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:385757
GI



AB Michael reaction of electrophilic olefins with acyclic β -enaminoester substrates derived from α -substituted β -ketoesters and (R)- or (S)- α -methylbenzylamine under neutral conditions resulted in the enantioselective formation of quaternary centers. The addition of acrolein and Me vinyl ketone to the **enaminoesters** I [($R^1=\text{H}$, $R^2=\text{Me}$) = (+)-(S)- and (-)-(R)-isomers; ($R^1=\text{H}$, $R^2=\text{Et}$) (A) and ($R^1=\text{Me}$, $R^2=\text{Et}$) (B) = (+)-(S)-isomers] followed by aldol condensation of the resulting adducts produces the corresponding cyclohexenones II [($R^1=\text{CO}_2\text{Me}$, $R^2=\text{Me}$, $R^3=R^4=\text{H}$), ($R^1=\text{CO}_2\text{Et}$, $R^2=R^3=\text{Me}$, $R^4=\text{H}$) and ($R^1=\text{CO}_2\text{Et}$, $R^2=R^3=R^4=\text{Me}$) = (+)-(S)-isomers and ($R^1=\text{Me}$, $R^2=\text{CO}_2\text{Me}$, $R^3=R^4=\text{H}$) = (-)-(R)-isomer] and III [($R=\text{Me}$, Et) = (-)-(S)-isomers] with good optical purities. Similarly, keto diesters IV [($R^1=\text{Me}$, $R^2=\text{Et}$) and ($R^1=\text{Et}$, $R^2=\text{Me}$) = (-)-(S)-isomers] are obtained from the reaction of Et acrylate with enaminoester (A) and of Me acrylate with (B). Transition states of these reactions were explored with the help of PM3 semi-empirical calcns. using MOPAC 6.00. The energy differences calculated between the two-competing transition states are in agreement with the observation that the alkylations take place preferentially on the less hindered side of the π -bond opposite to the Ph group.

REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 29 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 29
 ACCESSION NUMBER: 2001:183387 CAPLUS
 DOCUMENT NUMBER: 134:366383
 TITLE: New auxiliaries for copper-catalyzed asymmetric Michael reactions: generation of quaternary stereocenters at room temperature
 AUTHOR(S): Christoffers, Jens; Mann, Alexander
 CORPORATE SOURCE: Institut für Organische Chemie Universität Stuttgart, Stuttgart, 70569, Germany
 SOURCE: Chemistry--A European Journal (2001), 7(5), 1014-1027
 CODEN: CEUJED; ISSN: 0947-6539
 PUBLISHER: Wiley-VCH Verlag GmbH
 DOCUMENT TYPE: Journal
 LANGUAGE: English

OTHER SOURCE(S): CASREACT 134:366383

AB Dialkyl amides of L-valine, L-isoleucine, and L-tert-leucine are excellent chiral auxiliaries for the construction of quaternary stereocenters at ambient temperature. **Enamino esters, prepared from** these auxiliaries and Michael donors, undergo a copper-catalyzed asym. Michael reaction with Me vinyl ketone to afford diketo esters in 70-90% yield and 90-99% ee (enantiomeric excess). The exclusion of moisture or oxygen is not necessary. The auxiliaries are readily available by standard procedures. After workup they can be recovered almost quant.

REFERENCE COUNT: 78 THERE ARE 78 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 30 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 30

ACCESSION NUMBER: 2001:259380 CAPLUS

DOCUMENT NUMBER: 135:107316

TITLE: The **synthesis** of L-proline derived hexaazamacrocyclic ligands of C₃ symmetry via intramolecular methyl ester aminolysis

AUTHOR(S): Achmatowicz, M.; Jurczak, J.

CORPORATE SOURCE: Institute of Organic Chemistry, Polish Academy of Sciences, Warsaw, PL-01-224, Pol.

SOURCE: Tetrahedron: Asymmetry (2001), 12(3), 487-495
CODEN: TASYE3; ISSN: 0957-4166

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 135:107316

AB A convenient **synthesis** of enantiomerically pure 18-, 21-, and 24-membered hexaaza-crown ligands is presented. Linear α,ω -**aminoesters, prepared from** L-proline, undergo intramol. aminolysis to afford the corresponding 18-, 21-, and 24-membered macrocyclic amides in satisfactory yields (42, 65, and 22%, resp.). These were subsequently transformed into the title macrocyclic hexamines via exhaustive **reduction** with a borane-dimethyl sulfide complex. X-ray structures of two larger macrocyclic amides are also presented.

REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 31 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 31

ACCESSION NUMBER: 2001:662072 CAPLUS

DOCUMENT NUMBER: 135:358239

TITLE: Experimental investigation into one-step and two-steps polymerization via Michael addition from primary amine

AUTHOR(S): He, Feng; Shooshtari, Kiarash Alavi; Collier, Harvest
CORPORATE SOURCE: Department of Chemistry, University of Missouri-Rolla, MO, 65401, USA

SOURCE: Polymer Preprints (American Chemical Society, Division of Polymer Chemistry) (2001), 42(2), 335-336
CODEN: ACPPAY; ISSN: 0032-3934

PUBLISHER: American Chemical Society, Division of Polymer Chemistry

DOCUMENT TYPE: Journal; (computer optical disk)

LANGUAGE: English

AB A two-step Michael addition of n-propylamine to diacrylates was used as a synthetic route for the **preparation of polyaminoesters**. The reactions were carried out at low temperature in the absence of **catalysts** and solvents. The **synthesis** and structure of these polymers are presented. We also compared the phys. properties of these polymers with the one-step **synthesized** polymers.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 32 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 32
ACCESSION NUMBER: 2001:505978 CAPLUS
DOCUMENT NUMBER: 135:257399
TITLE: **Synthesis** of unsaturated C-glycosyl glycines
AUTHOR(S): Wernicke, Angelika; Sinou, Denis
CORPORATE SOURCE: Laboratoire de Synthèse Asymétrique, associé au CNRS, CPE Lyon, Université Claude Bernard Lyon 1, Villeurbanne, 69622, Fr.
SOURCE: Journal of Carbohydrate Chemistry (2001), 20(2), 181-190
CODEN: JCACDM; ISSN: 0732-8303
PUBLISHER: Marcel Dekker, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:257399
AB Palladium(0)-**catalyzed** alkylation of 2,3-unsatd. aryl glycoside with Et nitroacetate or N-(diphenylmethylene)glycine Et ester in the presence of N,O-bis(trimethylsilyl)acetamide/KOAc afforded Et 2-(4,5-di-O-benzyl-2,3-dideoxy- α -D-erythro-hex-2-enopyranosyl)-2(R,S)-nitroacetate and Et 2-(4,6-di-O-benzyl-2,3-dideoxy- α -D-erythro-hex-2-enopyranosyl)-2(R,S)-[(N-diphenylmethylene)amino]acetate, resp. Hydrogenation of Et 2-(4,5-di-O-benzyl-2,3-dideoxy- α -D-erythro-hex-2-enopyranosyl)-2(R,S)-nitroacetate afforded the saturated **aminoesters**, while Et 2-(4,6-di-O-benzyl-2,3-dideoxy- α -D-erythro-hex-2-enopyranosyl)-2(R,S)-[(N-diphenylmethylene)amino]acetate was readily transformed into 2-(4,6-di-O-benzyl-2,3-dideoxy- α -D-erythro-hex-2-enopyranosyl)-2(R,S)-glycine by acidic hydrolysis followed by saponification
REFERENCE COUNT: 38 THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 33 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 33
ACCESSION NUMBER: 2000:608705 CAPLUS
DOCUMENT NUMBER: 133:209645
TITLE: Efficient **synthesis** of secondary amines by selective alkylation of primary amines using cerium base **catalysts**
INVENTOR(S): Jung, Kyung Woon
PATENT ASSIGNEE(S): University of South Florida, USA
SOURCE: PCT Int. Appl., 65 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2000050377	A1	20000831	WO 2000-US4739	20000225
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF,			

CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
 US 6423871 B1 20020723 US 2000-513219 20000225
 PRIORITY APPLN. INFO.: US 1999-121867P P 19990226
 US 1999-126151P P 19990325
 US 1999-138656P P 19990614
 US 1999-126108P P 19990325

AB Selective mono-N-alkylation of primary amines to produce secondary amines, that are substantially free of overalkylated tertiary amines and quaternary ammonium salts, are carried out under mild reaction conditions without the necessity of protecting groups. Secondary amines are produced by reacting an alkyl halide with an alkyl amine in anhydrous solvent, preferably DMSO or N,N-dimethylformamide, in the presence of 0.1-3 molar equivalents of a cesium base. Optionally, the extent and selectivity of mono-N-alkylation is enhanced by addition to the reaction mixture of a powdered mol. sieve material for removal of water produced by the reaction, and/or tetrabutylammonium iodide to promote halide exchange. The invention permits selective and efficient mono-N-alkylation of a wide variety of substrates at 23°; does not cause racemization when used with enantiomerically-pure chiral substrates such as L- α -**aminoesters**; and is applied to solid phase synthesis whereby either the alkyl amine or alkyl halide is immobilized. The **method** is addnl. used to produce polyamines, such as N-[2-(2-aminoethylthio)ethyl]ethylenediamine in 73% yield. Thus, 500 mg L-leucinol was reacted with 1885 mg N,N-dibenzylisoleucinol bromide in 20 mL anhydrous DMF in the presence of 1 g activated 4Å mol. sieves and 717 mg cesium hydroxide monohydrate for 14 h to give 1005 mg dialkylamine without trialkylamine.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 34 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 34
 ACCESSION NUMBER: 2000:410600 CAPLUS
 DOCUMENT NUMBER: 133:207780
 TITLE: Enantioselective Michael Reactions of Chiral Secondary **Enaminoesters** with 2-Substituted Nitroethylenes. **Syntheses** of trans,trans-2,4-Disubstituted Pyrrolidine-3-carboxylates
 AUTHOR(S): Revial, Gilbert; Lim, Sethy; Viossat, Bernard; Lemoine, Pascale; Tomas, Alain; Duprat, Arthur F.; Pfau, Michel
 CORPORATE SOURCE: Laboratoire de Chimie Organique, CNRS (ESA 7084) ESPCI, Paris, 75231, Fr.
 SOURCE: Journal of Organic Chemistry (2000), 65(15), 4593-4600 CODEN: JOCEAH; ISSN: 0022-3263
 PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 133:207780

AB The Michael reaction of chiral 3-substituted secondary **enaminoesters** with 2-substituted nitroethylenes leads to (Z)-adducts, with good to excellent diastereoselectivity. The nitro group of these adducts was **catalytically** reduced to give, after cyclization and chiral amine elimination, pyrrolines or pyrrolidines after further reduction. In particular, the **syntheses** of Et (2R,3S,4S)-2,4-dimethylpyrrolidine-3-carboxylate and Et (2R,3R,4S)-2-(4-methoxyphenyl)-4-(3,4-(methylenedioxy)phenyl)pyrrolidine-3-carboxylate are described. The crystal and mol. structures of Et 2-[(1S)-1-(nitromethyl)ethyl]-3-[(1R)-(1-phenylethyl)amino]but-2-enoate were determined by x-ray crystallog.

10/660,345

REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 35 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 35

ACCESSION NUMBER: 1999:571205 CAPLUS

DOCUMENT NUMBER: 131:286753

TITLE: A new route to the **synthesis** of pyrazole and pyrimidine C-nucleoside derivatives

AUTHOR(S): Morelli, Carlo F.; Manferdini, Monica; Veronese, Augusto C.

CORPORATE SOURCE: Dipartimento di Scienze Farmaceutiche, Ferrara, I-44100, Italy

SOURCE: Tetrahedron (1999), 55(35), 10803-10814

CODEN: TETRAB; ISSN: 0040-4020

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A new route to the **synthesis** of pyrazole and pyrimidine C-nucleosides, which involves as the key step a metal promoted reaction of β -D-ribofuranosyl ketoesters with alkyl cyanoformates is described. 2,3,5-Tri-O-benzoyl- β -D-ribofuranosyl cyanide reacts with α -bromoesters, in the presence of zinc dust, to give β -D-ribofuranosyl- **enaminoesters** which are hydrolyzed with 1N hydrochloric acid to β -ketoesters. The reactions of β -ketoesters with alkyl cyanoformates, in the presence of tin(IV) chloride or of **catalytic** amts. of metal acetylacetonates, afford β -D-ribofuranosyl enaminoesters. These compds. react with benzylhydrazine and acetamidine to give pyrazole and pyrimidine C-nucleosides.

REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 36 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 36

ACCESSION NUMBER: 1999:296081 CAPLUS

DOCUMENT NUMBER: 131:45074

TITLE: Enantioselective acylation of β -**aminoesters** using penicillin G Acylase in organic solvents

AUTHOR(S): Roche, Didier; Prasad, Kapa; Repic, Oljan

CORPORATE SOURCE: Process Research & Development, Chemical & Analytical Development, Novartis Institute for Biomedical Research, East Hanover, NJ, 07936, USA

SOURCE: Tetrahedron Letters (1999), 40(19), 3665-3668

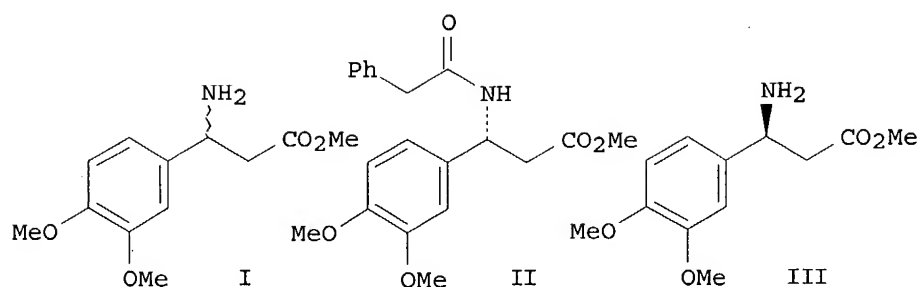
CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

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AB The resolution of racemic β - aminoesters has been achieved through selective acylation **catalyzed** by Penicillin G Acylase (ChiroCLEC-EC). The **method** has been optimized using three different phenylacetyl donors, and the effect of solvents on the rate of reaction is described. The efficiency of this **method** is illustrated by the **synthesis** of five different β - aminoesters with high enantiomeric purities. For example, in the presence of **catalyst** ChiroCLEC-EC, racemic β -amino ester I reacted with PhCH₂CO₂Me in EtOAc/H₂O to give 52% of acylated product (R)-II, and the desired, unacylated (S)-III was recovered in 46% yield with >95% enantiomeric excess.

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 37 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 37

ACCESSION NUMBER: 1999:210363 CAPLUS

DOCUMENT NUMBER: 131:19168

TITLE: Enamino Ester **Reduction**: A Short Enantioselective Route to Pyrrolizidine and Indolizidine Alkaloids. **Synthesis** of (+)-Laburnine, (+)-Tashiromine, and (-)-Isoretronecanol

AUTHOR(S): David, Olivier; Blot, Jerome; Bellec, Christian; Fargeau-Bellassoued, Marie-Claude; Haviari, Gjergj; Celerier, Jean-Pierre; Lhomme, Gerard; Gramain, Jean-Claude; Gardette, Daniel

CORPORATE SOURCE: Laboratoire de Chimie des Heterocycles UMR 7611, Universite P. et M. Curie (Boite 43), Paris, 75252, Fr.

SOURCE: Journal of Organic Chemistry (1999), 64(9), 3122-3131
CODEN: JOCEAH; ISSN: 0022-3263

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 131:19168

AB Various chiral pyrrolizidine tetrasubstituted β - **enamino esters** were reduced **catalytically** or chemical with good to moderate diastereoselectivity owing to a chiral induction originated from (S)- α -methylbenzylamine. With endocyclic double bond compds., the best result was obtained using PtO₂ as hydrogenation **catalyst** and led to a major syn addition product (e.d. 90%). In the case of exocyclic double bond compds., hydrogenation over Pd/C gave rise to the higher diastereoselectivity and mainly afforded the unexpected anti addition product (e.d. 84%). The scope of these **redns.** has been extended to the **synthesis** of three pyrrolizidine or indolizidine alkaloids: (+)-tashiromine, (+)-laburnine, and (-)-isoretronecanol.

Syntheses of these natural products, starting from chiral β -enamino diesters, were achieved in a short and convenient manner, leading to enantiopure compds. in good overall yields.

REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 38 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 38

ACCESSION NUMBER: 1999:286864 CAPLUS

DOCUMENT NUMBER: 131:31614

TITLE: A clay **catalyzed method** for diethyl 2,2,2-trichloroethylidenepropanedioate, an efficient intermediate for the **synthesis** of **enamino esters**

AUTHOR(S): Deshmukh, A. R. A. S.; Panse, D. G.; Bhawal, B. M.
CORPORATE SOURCE: Division of Organic Chemistry (Synthesis), National Chemical Laboratory, Pune, 411 008, India

SOURCE: Synthetic Communications (1999), 29(10), 1801-1809
CODEN: SYNCAV; ISSN: 0039-7911

PUBLISHER: Marcel Dekker, Inc.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 131:31614

AB An improved high yielding procedure for di-Et 2,2,2-trichloroethyldinepropanedioate using montmorillonite K-10 **catalyst** has been described. Various di-Et (aminomethylene)propanedioates were **synthesized** in excellent yields starting from propanedioate via addition products.

REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 39 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 39

ACCESSION NUMBER: 1999:126055 CAPLUS

DOCUMENT NUMBER: 130:267293

TITLE: A novel asymmetric **synthesis** of 2,5-dialkylpyrrolidines

AUTHOR(S): Daley, Valerie; D'Angelo, Jean; Cave, Christian; Mahuteau, Jacqueline; Chiaroni, Angele; Riche, Claude
CORPORATE SOURCE: Unite de Chimie Organique Associee au CNRS, Centre d'Etudes Pharmaceutiques, Universite Paris-Sud, Chittenay-Malabry, 92296, Fr.

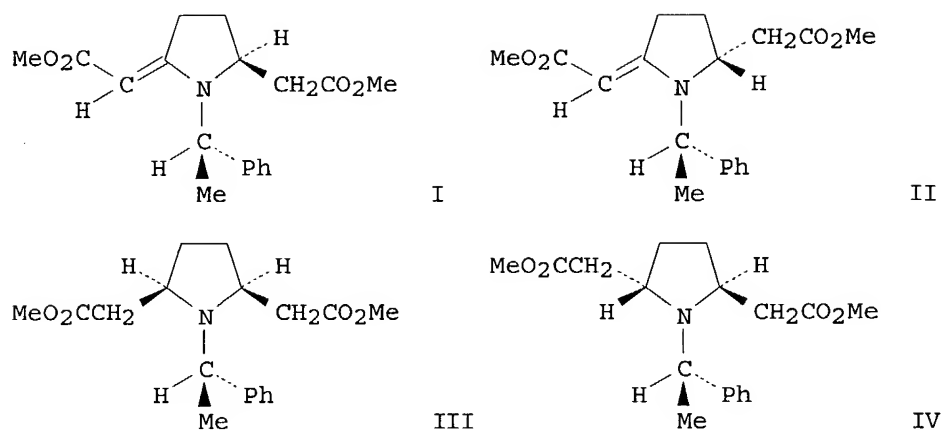
SOURCE: Tetrahedron Letters (1999), 40(9), 1657-1660
CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



AB ZnCl_2 -promoted cyclization of enamino ester (R,Z,E)- $\text{MeO}_2\text{CCH}:\text{C}(\text{NHCHMePh})\text{CH}_2\text{CH}_2\text{CH}:\text{CHCO}_2\text{Me}$ furnished a 1.5:1 mixture of pyrrolidines I and II. NaBH_4 -reduction of this mixture gave cis and trans-2,5-dialkylpyrrolidines III and IV in a 2:1 ratio. IV was obtained in its 2S,5S enantiomerically pure form.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 40 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 40

ACCESSION NUMBER: 1999:574210 CAPLUS

DOCUMENT NUMBER: 131:336564

TITLE: First Highly Diastereoselective **Synthesis** of syn α -Methyl β -Fluoroalkyl β -Amino Esters

AUTHOR(S): Fustero, Santos; Pina, Belen; Garcia de la Torre, Marta; Navarro, Antonio; Ramirez de Arellano, Carmen; Simon, Antonio

CORPORATE SOURCE: Departamento de Quimica Organica Facultad de Farmacia, Universidad de Valencia, Burjassot, 46100, Spain

SOURCE: Organic Letters (1999), 1(7), 977-980

CODEN: ORLEF7; ISSN: 1523-7060

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 131:336564

AB A new two-step approach for the diastereoselective **synthesis** of syn β -amino- β -(fluoroalkyl) α -Me esters was developed. This approach is based on the chemical **reduction** of the fluorinated β -**enamino esters**, which were previously obtained from imidoyl chlorides and lithium ester enolates, with $\text{ZnI}_2/\text{NaBH}_4$ as the reducing agent. The **process** takes place with high syn diastereoselectivity and good to excellent yields. A metal-chelated six-membered model has been suggested to explain the stereochem. outcome of the **reduction** reaction. For example, (2R,3R)-rel-3-Amino-4,4,4-trifluoro-2-methylbutanoic acid Et ester was **prepared** using this approach.

REFERENCE COUNT: 41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 41 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 41

ACCESSION NUMBER: 1998:207299 CAPLUS

10/660,345

DOCUMENT NUMBER: 128:231877
TITLE: **Preparation of biodegradable quaternary amidoaminoester fabric softeners**
INVENTOR(S): Toney, Christopher Joseph; Friedli, Floyd D.
PATENT ASSIGNEE(S): Sherex Chemical Co., Inc., USA
SOURCE: U.S., 4 pp., Cont. of U.S. Ser. No. 119,321, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5734069	A	19980331	US 1994-307381	19940914
PRIORITY APPLN. INFO.:			US 1992-926152	19920805
			US 1993-119321	19930909

OTHER SOURCE(S): MARPAT 128:231877

AB The title compds RCONH(CH₂)₂N+(R₂)(R₃)(CH₂)₂O₂CR₁X- [R, R₁ = (un)branched C₁₆₋₁₈ alkyl or alkenyl; R₂ = CH₂CH(OH)CH₃; R₃ = Me; X = Cl, Br, ethylsulfate methylsulfate, acetate, lactate, sulfate, phosphate], which exhibit useful fabric softening and static **reduction** properties, as well as biodegradability, are **prepared** Thus, (2-cyanoethyl)(2-hydroxyethyl)methylamine was hydrogenated to the corresponding diamine, camidated with a mixture of stearic, palmitic, myristic, and eicosanoic acids, and the obtained ester amide quaternized, producing a biodegradable fabric softener.

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 42 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 42

ACCESSION NUMBER: 1998:208651 CAPLUS

DOCUMENT NUMBER: 128:243878

TITLE: Procedure for production of 3-arylluracils from nitrobenzenes and **enamino esters**

INVENTOR(S): Sting, Andrea Rolf; Siegrist, Urs; Studer, Martin; Baumeister, Peter

PATENT ASSIGNEE(S): Novartis A.-G., Switz.

SOURCE: Ger. Offen., 58 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

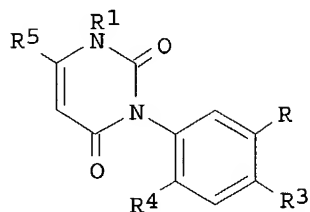
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

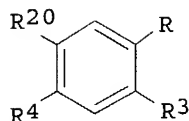
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19741411	A1	19980326	DE 1997-19741411	19970919
PRIORITY APPLN. INFO.:			CH 1996-2322	19960923

OTHER SOURCE(S): CASREACT 128:243878; MARPAT 128:243878

GI



I



II

AB A procedure for the **preparation** of 3-arylluracils I [R = (YQ)m[C(O)]nXR2; R1 = C1-4-alkyl, C3-4-alkenyl, C3-4-alkynyl, R2 = C3-6-alkenyl, C3-6-alkynyl, C3-8-cycloalkenyl, C3-8-bicycloalkenyl, C3-6-haloalkenyl; R3 = H, halogen, C1-3-alkyl, C1-3-alkoxy, C1-3-haloalkyl, C1-3-haloalkoxy, CN, OH; RR3 = NR17C(O)(CH2)n1X3; R4 = H, F, Cl; R5 = C1-4-alkyl, C1-4-haloalkyl, C3-6-alkenyl, C3-6-alkynyl; Y = O, S, NR6, C(O)X1; X = O, S, NR7; X1 = O, S, NR8; R6, R7, R8 = C1-4-alkyl, C1-4-haloalkyl, C3-6-alkenyl, C3-6-alkynyl; m, n = 0, 1; Q = C1-10-alkyl in which C(1) or C(1) and C(2) are part of a C3-8-cycloalkyl group; n1 = 0, 1; X3 = O, S; R17 = C3-6-alkenyl, C3-6-alkynyl] consists of **catalytic reduction** of nitrobenzenes II (R20 = NO2) to anilines II (R20 = NH2), reaction of the anilines with phosgene or diphosgene to give isocyanates II (R20 = NCO), and cyclocondensation of the isocyanates with **enamino esters** R5C(NHR1):CHCO2R16 (R16 = C1-6-alkyl) is characterized by carrying out the **process** with a rhodium, ruthenium, platinum, iridium or palladium **catalyst** which has been reduced to an oxidation state lower than 5 with a phosphorus compound, in pure DMF, DMSO, MeCN, EtCN, EtOAc, THF, dioxane, N-methylpyrrolidone, Me tert-Bu ether, dimethylacetamide or PhMe or a mixture of these, and in the presence of 0.2 - 0.4 equivs. of a base selected from the alkali and alkaline earth metal h.

L5 ANSWER 43 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 43

ACCESSION NUMBER: 1998:332697 CAPLUS

DOCUMENT NUMBER: 129:67963

TITLE: A new and efficient route to the **synthesis** of pyrazole and pyrimidine C-nucleoside derivatives

AUTHOR(S): Veronese, Augusto C.; Morelli, Carlo F.

CORPORATE SOURCE: Dip. Science Farmaceutiche, Ferrara, I-44100, Italy

SOURCE: Tetrahedron Letters (1998), 39(22), 3853-3856

CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A new route to the **synthesis** of pyrazole and pyrimidine C-nucleosides, involving as the key step a metal **catalyzed** reaction of β -D-ribofuranosyl ketoesters with alkyl cyanoformates, is described. 2,3,5-Tri-O-benzoyl- β -D-ribofuranosyl cyanide reacts with α -bromoesters, in the presence of zinc dust, to give β -D-ribofuranosyl- **enaminoesters** which are easily hydrolyzed to β -ketoesters. The reactions of the β -ketoesters with alkyl cyanoformates, in the presence of **catalytic** amts. of [Cu(acac)2], afford C-glycosyl enaminoketoesters. These compds. react with benzylhydrazine and acetamidine to give pyrazole and pyrimidine C-nucleosides.

REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 44 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 44

ACCESSION NUMBER: 1998:395522 CAPLUS

DOCUMENT NUMBER: 129:175931

TITLE: A practical **synthesis** of enantiopure ethyl **cis-2-amino-1-cyclohexanecarboxylate** via asymmetric **reductive** amination methodology. [Erratum to document cited in CA127:95544]

AUTHOR(S): Xu, Daqiang; Prasad, Kapa; Repic, Oljan; Blacklock, Thomas J.

CORPORATE SOURCE: Process R and D, Chem. Anal. Dev., Novartis Pharm. Coop., East Hanover, NJ, 07936, USA

SOURCE: Tetrahedron: Asymmetry (1998), 9(10), 1635
CODEN: TASYE3; ISSN: 0957-4166

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB On page 1451, line 4 from the top, the sign of the optical rotation for compound 6 was inadvertently typed as "+"; it should read: $[\alpha]_{20D} = -8.9$ (c = 1.0, MeOH).

L5 ANSWER 45 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 45

ACCESSION NUMBER: 1998:379385 CAPLUS

DOCUMENT NUMBER: 129:148873

TITLE: (Alkoxy carbonyl)carbene transfer to semicyclic enaminones. A route to cyclopenta[b]pyrrole and indole ring systems

AUTHOR(S): Mueller, Andreas; Maier, Alexandra; Neumann, Ralf; Maas, Gerhard

CORPORATE SOURCE: Abteilung Organische Chemie I, Universitaet Ulm, Ulm, D-89081, Germany

SOURCE: European Journal of Organic Chemistry (1998), (6), 1177-1187
CODEN: EJOCFK; ISSN: 1434-193X

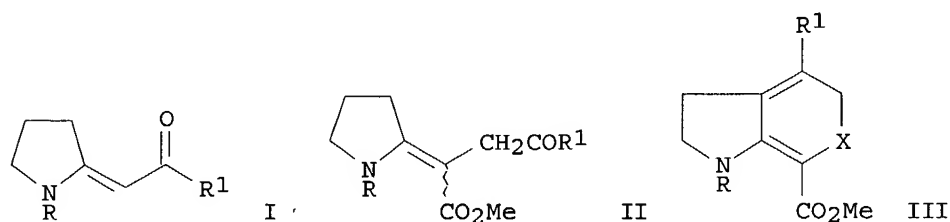
PUBLISHER: Wiley-VCH Verlag GmbH

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 129:148873

GI



AB Cu-catalyzed decomposition of alkyl diazoacetates in the presence of semicyclic enaminones I (R = Me, PhCH₂, Ph; R₁ = aryl or R = Me; R₁ = OMe, OCMe₃) leads primarily to the corresponding **enamino esters** II which constitute formal products of C-C insertion of the carbene unit. In the case of I (R = Me, PhCH₂; R₁ = aryl), compds. II are accompanied by 2,3,5,6-tetrahydroindoles III (X = CHCO₂Me), in which 2 carbene moieties are incorporated. At 250°, II (R = Me, R₁ =

aryl), which could not be isolated in pure form, undergo cyclocondensation to form 1,2,3,5-tetrahydrocyclopenta[b]pyrroles III (X = bond). In contrast, II (R = PhCH₂, R₁ = aryl) can be isolated as Z,E mixts. and are transformed thermally into III (X = bond) only in the presence of silica gel. Carbene transfer to I (R = Ph, R₁ = aryl; R = Me, R₁ = OMe, OCMe₃) leads only to the corresponding 1:1 adducts II, which do not undergo the cyclocondensation under the previous conditions. Dehydrogenation of tetrahydroindoles III (X = CHCO₂Me; R = Me; R₁ = 4-ClC₆H₄, 2-furyl, 2-thienyl) with tetrachloro-1,4-benzoquinone can be controlled to give either 1,2-dihydroindole-6,7-dicarboxylates or indole-6,7-dicarboxylates.

L5 ANSWER 46 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 46

ACCESSION NUMBER: 1999:71726 CAPLUS

DOCUMENT NUMBER: 130:209938

TITLE: Polyethylene glycol (PEG) as a new phase-transfer **catalyst** in the palladium-catalyzed Heck reaction: positive effect of the polymer in the supported **synthesis** of α -**aminoesters**

AUTHOR(S): Sauvagnat, Berengere; Lamaty, Frederic; Lazaro, Rene; Martinez, Jean

CORPORATE SOURCE: Laboratoire des aminoacides, peptides et proteines, CNRS - Universites Montpellier-I et -II, Montpellier, 34095, Fr.

SOURCE: Comptes Rendus de l'Academie des Sciences, Serie IIc: Chimie (1998), 1(12), 777-780
CODEN: CASCEN; ISSN: 1387-1609

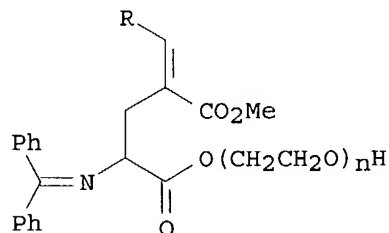
PUBLISHER: Editions Scientifiques et Medicales Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 130:209938

GI



I

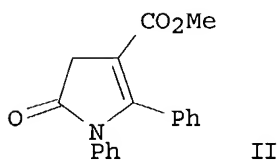
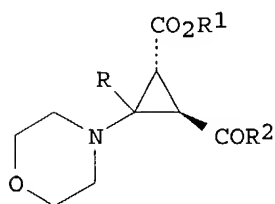
AB Poly(ethylene glycol) (PEG) supported substrate I (R = H) bearing a Me acrylate moiety has been subjected to a palladium-catalyzed Heck reaction with Ph iodide to afford glutamic acid analog I (R = Ph) with a good regio- and stereoselectivity of the double bond. It was found that PEG (present in the starting material) acts as a phase-transfer **catalyst** as well as polymer support. No further **catalyst** such as a quaternary ammonium salt is required in this reaction.

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 47 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 47

ACCESSION NUMBER: 1998:341706 CAPLUS

DOCUMENT NUMBER: 129:67569
 TITLE: (Alkoxy carbonyl)carbene transfer to acyclic tertiary enaminones
 AUTHOR(S): Maas, G.; Mueller, A.
 CORPORATE SOURCE: Abteilung Organische Chemie I, Universitaet Ulm, Ulm, D-89069, Germany
 SOURCE: Journal fuer Praktische Chemie/Chemiker-Zeitung (1998), 340(4), 315-322
 CODEN: JPCCEM; ISSN: 0941-1216
 PUBLISHER: Johann Ambrosius Barth
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI



AB Cu-catalyzed (alkoxy carbonyl)carbene transfer from N2:CHCO2R (R = Me, CMe3) to acyclic **enamino esters** (E)-RR1C:CHCO2Me (R = morpholino; R1 = Me, Ph) and (E)-RPhC:CHCONHPh (R = morpholino) yields vicinal push-pull-substituted cyclopropanes I (R = Me or Ph, R1 = Me, R2 = OMe; R = Ph, R1 = CMe3, R2 = OMe; R = Ph, R1 = Me, R2 = NHPh). On contact with dry silica gel, the latter compds. undergo facile ring-opening to give **enamino esters** (E)-RPhC:C(CO2R1)CH2COR2 [R = morpholino (II) with R1 = Me, R2 = OMe; R1 = CMe3, R2 = OMe; R1 = Me, R2 = OCMe3; R1 = Me, R2 = NHPh]. Treatment with aqueous acid transforms II [R1 = Me, R2 = OMe; R1 = CMe3, R2 = OMe; R1 = Me, R2 = OCMe3] into the corresponding 2-acylsuccinates PhCOCH(CO2R1)CH2COR2 and II (R1 = Me, R2 = NHPh) into pyrrolinone III. (Methoxycarbonyl)carbene transfer to (E)-RR1C:CHCOR2 (R = pyrrolidino, R1 = R2 = Me; R = morpholino, R1 = Me or Ph, R2 = Ph) does not yield isolable cyclopropanes, but after hydrolytic workup the corresponding α -acyl γ -keto esters R1COCH(CO2Me)CH2COR2 are obtained.

L5 ANSWER 48 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 48
 ACCESSION NUMBER: 1998:191359 CAPLUS
 DOCUMENT NUMBER: 128:257376
 TITLE: Reactivity of p-phenyl substituted β -enamino compounds using K-10/ultrasound. I. **Synthesis** of pyrazoles and pyrazolines
 AUTHOR(S): Valduga, Claudete J.; Braibante, Hugo S.; Braibante, Mara E. F.
 CORPORATE SOURCE: Departamento de Quimica, Universidade Federal Santa Maria, Santa Maria, 97105-900, Brazil
 SOURCE: Journal of Heterocyclic Chemistry (1998), 35(1), 189-192
 CODEN: JHTCAD; ISSN: 0022-152X
 PUBLISHER: HeteroCorporation
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 128:257376
 AB The reactivity of the β -enamino ketones, 3-amino-1-(p-phenyl-

substituted)-2-buten-1-ones, and β - enamino esters , ethyl-3-amino-3-(p-phenyl-substituted)-2-propenoates, were evaluated by systematic studies of the reactions with hydrazine and methylhydrazine by reactions with solid support K-10/ultrasound and homogeneous media (reflux in ethanol or dichloromethane) yielding pyrazoles, N-methylpyrazoles, and N-methylpyrazolinones. The regiochem. of the cyclization showed dependence on the reaction conditions employed as well as on the substituents in the aromatic ring.

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 49 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 49

ACCESSION NUMBER: 1997:777102 CAPLUS

DOCUMENT NUMBER: 128:61665

TITLE: Chiral cyclic esters. Part II: **synthesis** by diastereoselective **reduction** of **enamino esters**

AUTHOR(S): Blot, J.; Bardou, A.; Bellec, C.; Fargeau-Bellassoued, M.-C.; Celerier, J. P.; Lhomme, G.; Gardette, D.; Gramain, J.-C.

CORPORATE SOURCE: Laboratoire de Chimie des Heterocycles, Associe au CNRS, Universite P. et M. Curie, Paris, 75252, Fr.

SOURCE: Tetrahedron Letters (1997), 38(49), 8511-8514

CODEN: TELEAY; ISSN: 0040-4039

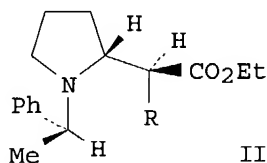
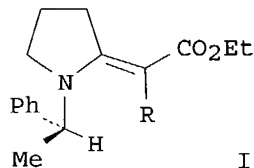
PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 128:61665

GI



AB **Catalytic** and chemical **redns.** of chiral pyrrolidine 3-**enamino esters** (E/Z)-I (R = Me, Et, Pr, Bu) provides corresponding β -amino esters II with good to moderate diastereomer excesses. The unexpected major diastereomer II comes from a **redn** **process** which amts. to an anti hydrogen addition

REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 50 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 50

ACCESSION NUMBER: 1997:367250 CAPLUS

DOCUMENT NUMBER: 127:95544

TITLE: A practical **synthesis** of enantiopure ethyl **cis-2-amino-1-cyclohexanecarboxylate** via asymmetric **reductive** amination methodology

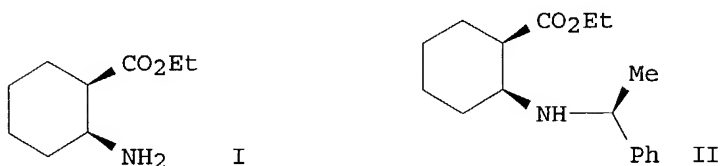
AUTHOR(S): Xu, Daqiang; Prasad, Kapa; Repic, Oljan; Blacklock, Thomas J.

CORPORATE SOURCE: Process R and D, Chem. Anal. Dev., Novartis Pharm. Coop., East Hanover, NJ, 07936, USA

SOURCE: Tetrahedron: Asymmetry (1997), 8(9), 1445-1451

PUBLISHER:
DOCUMENT TYPE:
LANGUAGE:
OTHER SOURCE(S):
GI

CODEN: TASYE3; ISSN: 0957-4166
Elsevier
Journal
English
CASREACT 127:95544



AB A simple and practical **method** for large scale **preparation** of optically pure title compound I was developed via a **reductive amination** of 2-oxo-cyclohexanecarboxylate with a chiral α -methylbenzylamine. The major diastereomer II was isolated in optically pure form by a simple and efficient crystallization as its HBr salt. The diastereoselectivity as well as the cis/trans selectivity was also improved.

REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 51 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 51
ACCESSION NUMBER: 1996:644391 CAPLUS
DOCUMENT NUMBER: 125:300280
TITLE: Comparative study of physical and chemical activation modes. The case of the **synthesis** of β -amino derivatives
AUTHOR(S): Jenner, Gerard
CORPORATE SOURCE: Lab. Piezochimie Organique, Univ. Louis Pasteur, Strasbourg, 67000, Fr.
SOURCE: Tetrahedron (1996), 52(43), 13557-13568
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The activation of the conjugate addition of amines to α,β -ethylenic substrates is considered. Pressure (phys. parameter) is a powerful tool to promote the reaction due to its effect on the nucleophilic attack on the double bond of the acrylic compound with development of zwitterionic species. Combination of pressure and lanthanide **catalysis** (chemical activation) is a highly efficient multiactivation mode, though it is unable to operate in strongly congested systems. Physicochem. activation by water considerably promotes the **synthesis** of β -amino derivs. However in the case of acrylic esters, it is of little value since the β - **aminoesters** formed undergo rapid retro-Michael reactions.

L5 ANSWER 52 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 52
ACCESSION NUMBER: 1996:440695 CAPLUS
DOCUMENT NUMBER: 125:141799
TITLE: Stereoselective **Reduction** of Enantiopure β - **Enamino Esters** by Hydride:
A Convenient **Synthesis** of Both Enantiopure β -Amino Esters

AUTHOR(S): Cimarelli, Cristina; Palmieri, Gianni
 CORPORATE SOURCE: Dipartimento di Scienze Chimiche, Camerino, I-62032, Italy
 SOURCE: Journal of Organic Chemistry (1996), 61(16), 5557-5563
 CODEN: JOCEAH; ISSN: 0022-3263
 PUBLISHER: American Chemical Society
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 125:141799

AB The **reduction** of enantiopure β - **enamino esters** with sodium triacetoxyborohydride in acetic acid is described. This occurs with ~~good diastereo-~~ and enantioselectivity to yield β -amino esters (after hydrogenolysis of the N-chiral group). A model is reported for the origin of the stereoselectivity through an enol ester-diacetoxyborohydride, which affords the intramol. **reduction**. By choosing the appropriate chiral amine, this procedure allows a straightforward **preparation** of both the enantiopure β -amino esters and derivs. with known biol. activity, using readily available starting materials and inexpensive reagents and conditions.

L5 ANSWER 53 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 53

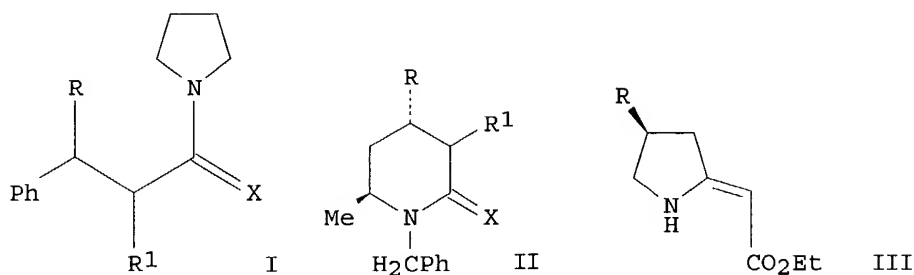
ACCESSION NUMBER: 1996:489998 CAPLUS
 DOCUMENT NUMBER: 125:247515
 TITLE: Diastereoselective **reduction** of cyclic imines and β - **enamino esters**
 AUTHOR(S): Thanh, Giang Vo; Celerier, Jean-Pierre; Fleurant, Anne; Grandjean, Cyrille; Rosset, Sylvie; Lhomme, Gerard
 CORPORATE SOURCE: Lab. Chim. Heterocycles, Univ. Pierre Marie Curie, Paris, 75252, Fr.
 SOURCE: Heterocycles (1996), 43(7), 1381-1384
 CODEN: HTCYAM; ISSN: 0385-5414
 PUBLISHER: Japan Institute of Heterocyclic Chemistry
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 125:247515

AB The chemical and diastereoselective **reduction** of cyclic imines and β - **enamino esters** has been investigated and exploited as an efficient **method** of **synthesis** of trans disubstituted pyrrolidines.

L5 ANSWER 54 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 54

ACCESSION NUMBER: 1997:25916 CAPLUS
 DOCUMENT NUMBER: 126:89223
 TITLE: Novel approach to chiral pyrrolidin-2-ylidenecarboxylates
 AUTHOR(S): Sosnicki, Jacek G.; Liebscher, Juergen
 CORPORATE SOURCE: Dep. Org. Chem., Tech. Univ., Szczecin, 71-065, Pol.
 SOURCE: Synlett (1996), (11), 1117-1118
 CODEN: SYNLES; ISSN: 0936-5214
 PUBLISHER: Thieme
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 126:89223

GI



AB Michael addition of MeNO₂ to α,β -unsatd. thioamide I (RR1 = bond, X = S) or α,β -unsatd. thiolactam II (RR1 = bond, X = S) gives γ -nitro thioamide I (R = CH₂NO₂, R1 = H, X = S) or β -nitro Me thiolactam II (R = CH₂NO₂, R1 = H, X = S) and a diastereomer. Subsequent transformation to β - **enamino esters** (X = CHCO₂Et) by Eschenmoser reaction with bromoacetate and Et₃P followed by **reduction** of the nitro group and intramol. addition of the resulting amino function to the β -position of the enamino ester moiety gives access to chiral pyrrolidinyldenecarboxylates III (R = Ph, CH₂CHMeNHCH₂Ph).

L5 ANSWER 55 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 55

ACCESSION NUMBER: 1995:860798 CAPLUS

DOCUMENT NUMBER: 123:257596

TITLE: Deracemization of α - **aminoesters** via pyridoxal. II. Study of copolymerization of polymerizable forms of pyridoxal. Reactivity of corresponding polymers

AUTHOR(S): Honnoraty, Anne-Marie; Mion, Louis; Russet, Alain; Taillads, Jacques; Commeryras, Auguste

CORPORATE SOURCE: Lab. Chimie Organique Heterochimie Aminoacides, Univ. Montpellier II, Montpellier, 34095, Fr.

SOURCE: Bulletin de la Societe Chimique de France (1995), 132(7), 721-8

CODEN: BSCFAS; ISSN: 0037-8968

PUBLISHER: Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: French

AB We study the terpolymn. of 2 different pyridoxal (PL) polymerizable derivs. with 3 different diluents and 1 crosslinking agent and the **catalytic** activity of the corresponding terpolymers on S-phenylalanine Me ester racemization. We discuss the choice of the diluent comonomers in the polymerization and also their concentration. Thus, using 4-acryloylmorpholine as a diluting agent, we obtain a sufficiently hydrophilic polymer in an aqueous medium, and the partition coefficient of the substrate was more favorable. In addition, a terpolymer, in which the arm allowing immobilization of PL is most distant from the **catalytic** site, has the highest activity.

L5 ANSWER 56 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 56

ACCESSION NUMBER: 1995:504405 CAPLUS

DOCUMENT NUMBER: 123:257296

TITLE: β -Ketonitrile-derived protecting groups of the amino function. **Synthesis** of amino alcohols

AUTHOR(S): Abarbri, Mohamed; Guignard, Alain; Lamant, Maurice

CORPORATE SOURCE: Lab. Synthèse Org., Fac. Sci., Tours, F-37000, Fr.
 SOURCE: Helvetica Chimica Acta (1995), 78(1), 109-21
 CODEN: HCACAV; ISSN: 0018-019X
 PUBLISHER: Verlag Helvetica Chimica Acta
 DOCUMENT TYPE: Journal
 LANGUAGE: French
 OTHER SOURCE(S): CASREACT 123:257296

AB The amino group of natural L-amino acid esters is protected by condensation with 2-oxocyclopentanenitrile or 2-formyl-2-phenylacetoneitrile. Only the ester group of the formed **cyanoenamino esters** reacts with nucleophilic reagents such as organometallics (RMgX, RLi), borohydrides, or metal amides; the cyanoenamino group is unchanged. Cyanoenamino alcs. obtained by **reduction of cyanoenamino esters** are hydrolyzed under acidic conditions to amino alcs. with retention of configuration of the starting amino acid. This sequence of reactions allows the **preparation** of derivs. of L-tyrosinol from (-)-L-tyrosine. **Cyanoenamino esters** are readily methylated at the N-atom to give N-methylated **cyanoenamino esters**. A multistep procedure for **preparing** N-methylated amino alcs. homologous to natural (-)-(1R,2S)-ephedrine is given.

L5 ANSWER 57 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 57

ACCESSION NUMBER: 1994:630313 CAPLUS
 DOCUMENT NUMBER: 121:230313
 TITLE: Chemo- and Diastereoselective **Reduction** of β - **Enamino Esters**: A Convenient **Synthesis** of Both cis- and trans- γ -Amino Alcohols and β -Amino Esters
 AUTHOR(S): Bartoli, Giuseppe; Cimarrelli, Cristina; Marcantoni, Enrico; Palmieri, Gianni; Petrini, Marino
 CORPORATE SOURCE: Dipartimento di Scienze Chimiche, Camerino, I-62032, Italy
 SOURCE: Journal of Organic Chemistry (1994), 59(18), 5328-35
 CODEN: JOCEAH; ISSN: 0022-3263
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 121:230313

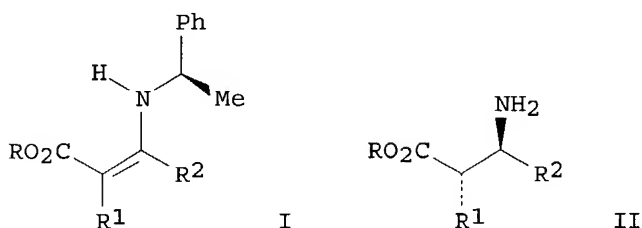
AB Convenient procedures for the chemo- and diastereoselective **redn** of β - **enamino esters** are described. Both cis- and trans- γ -amino alcs. or β -amino esters can be **prepd** by **reduction** of β - **enamino esters**, readily available starting materials, with the use of inexpensive reagents Na/i-PrOH or NaHB(OAc)₃/AcOH, resp., and appropriate **reduction** conditions. The mechanisms and diastereoselectivities for the **redns**. are discussed. The relative configurations and conformations of the diastereoisomeric γ -amino alcs. and β -amino esters are established by ¹H and ¹³C NMR and by conversion to tetrahydro-1,3-oxazines.

L5 ANSWER 58 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 58

ACCESSION NUMBER: 1995:54306 CAPLUS
 DOCUMENT NUMBER: 123:8782
 TITLE: Diastereo and enantioselective entry to β -amino esters by hydride **reduction** of homochiral β - **enamino esters**
 AUTHOR(S): Cimarrelli, Cristina; Palmieri, Gianni; Bartoli, Giuseppe
 CORPORATE SOURCE: Dipartimento di Scienze Chimiche, Camerino, 62032, Italy

10/660,345

SOURCE: Tetrahedron: Asymmetry (1994), 5(8), 1455-8
CODEN: TASYE3; ISSN: 0957-4166
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 123:8782
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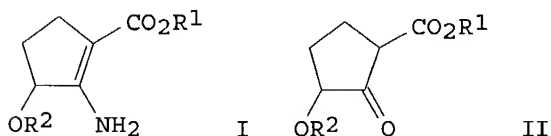


AB The **reduction** of homochiral β - **enamino esters** I with sodium triacetoxymethylborohydride which occurs with good diastereo- and enantioselectivity in the β -amino esters II, is described. This procedure allows a straightforward **preparation** of compds. with known biol. activity.

L5 ANSWER 59 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 59
ACCESSION NUMBER: 1993:495005 CAPLUS
DOCUMENT NUMBER: 119:95005
TITLE: **Preparation of cyclic enamino esters** as intermediates for antidepressants and brain disorder-improving agents
INVENTOR(S): Oomori, Kyoshi; Yoneda, Yasuhiro; Fuse, Kensaku
PATENT ASSIGNEE(S): Sankyo Co, Japan; Ube Industries
SOURCE: Jpn. Kokai Tokkyo Koho, 6 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 05065255	A2	19930319	JP 1991-230204	19910910
JP 2951765	B2	19990920		

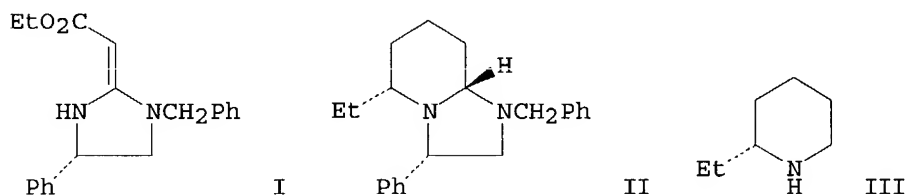
PRIORITY APPLN. INFO.: JP 1991-230204 19910910
OTHER SOURCE(S): CASREACT 119:95005; MARPAT 119:95005
GI



AB The title compds. I (R₁, R₂ = C1-4 alkyl), useful as intermediates for

4-(4-cyanoanilino)-6,7-dihydro-5H-cyclopenta[d]pyrimidines, are prepared by treatment of 3-alkoxy-2-oxocyclopentanecarboxylate esters II (R1, R2 = same as above) with ammonia in the presence of catalysts. Treatment of 17.2 g II (R1 = R2 = Me) with ammonium molybdate and NH₃/MeOH in MeOH at 50° for 1 h gave 14.5 g I (R1 = R2 = Me), which (17.1 g) was treated with 15.8 g formamide and MeONa in BuOH at .apprx.100° for 2 h to afford 12.9 g 7-methoxy-6,7-dihydro-3H,5H-cyclopenta[d]pyrimidin-4-one.

L5 ANSWER 60 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 60
 ACCESSION NUMBER: 1994:323211 CAPLUS
 DOCUMENT NUMBER: 120:323211
 TITLE: A new route to homochiral piperidines
 AUTHOR(S): Jones, Raymond C. F.; Turner, Ian; Howard, Kevin J.
 CORPORATE SOURCE: Chem. Dep., Nottingham Univ., Nottingham, NG7 2RD, UK
 SOURCE: Tetrahedron Letters (1993), 34(39), 6329-32
 CODEN: TELEAY; ISSN: 0040-4039
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 120:323211
 GI

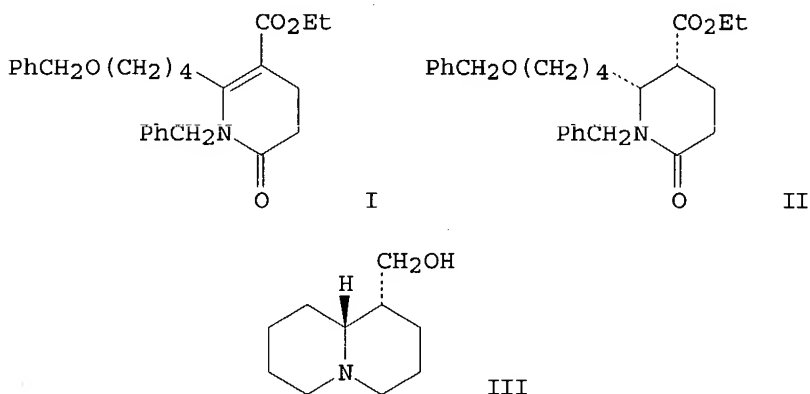


AB The preparation of an enantiomeric pair of enaminoesters from phenylglycine is described. Conjugate addition to α,β -enones, reductive cyclization-fragmentation to octahydroimidazopyridines and further reduction to remove the auxiliary atoms, completes a new route to homochiral piperidines in which the enaminoesters function as homochiral ethanal enamines. Cycloaddn. of Et (S)-(1-benzyl-4-phenylpyrrolidin-2-ylidene)acetate (I) [prepared from (S)-phenylglycine] with 1-penten-3-one gave the octahydroimidazopyridine II as a single stereoisomer. Reduction of II and removal of the chiral auxiliary gave (R)-2-ethylpiperidine.

L5 ANSWER 61 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 61
 ACCESSION NUMBER: 1994:133798 CAPLUS
 DOCUMENT NUMBER: 120:133798
 TITLE: Synthesis in dry media coupled with microwave irradiation: application to the preparation of enaminoketones
 AUTHOR(S): Rechsteiner, Benno; Texier-Boullet, Françoise; Hamelin, Jack
 CORPORATE SOURCE: Groupe Physicochim. Struct., Univ. Rennes I, Rennes, 35042, Fr.
 SOURCE: Tetrahedron Letters (1993), 34(32), 5071-4
 CODEN: TELEAY; ISSN: 0040-4039
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 120:133798
 AB β -Diketones, e.g., Ac₂CH₂, react with a variety of amines, e.g.,

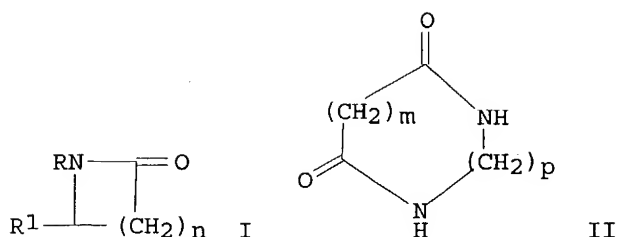
BuNH₂, and aminoesters over clay K10 or silica under microwave irradiation in open vessels to give within a few minutes, the corresponding enaminoketones, e.g., BuNHCHMe:CHAc, with good yields. According to the reaction conditions acylamines may also result.

L5 ANSWER 62 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 62
 ACCESSION NUMBER: 1993:147848 CAPLUS
 DOCUMENT NUMBER: 118:147848
 TITLE: Heterocycle formation through aza-annulation: a stereochemically controlled route to (±)-lupinine
 AUTHOR(S): Paulvannan, K.; Schwarz, Jacob B.; Stille, John R.
 CORPORATE SOURCE: Dep. Chem., Michigan State Univ., East Lansing, MI, 48824, USA
 SOURCE: Tetrahedron Letters (1993), 34(2), 215-18
 CODEN: TELEAY; ISSN: 0040-4039
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 118:147848
 GI



AB The aza-annulation of an acyclic β-enaminoester with acryloyl chloride was found to be a very efficient method for nitrogen heterocycle formation. Stereospecific hydrogenation of the unsatd. dihydropyridone I, generated from aza-annulation, of PhCH₂O(CH₂)₄C(NHCH₂Ph):CHCO₂Et with H₂C:CHCO₂Et, gave a single disubstituted lactam product II. The cis stereochem. relationship of the substituents was confirmed by transformation of the lactam to (±)-lupinine III.

L5 ANSWER 63 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 63
 ACCESSION NUMBER: 1992:571197 CAPLUS
 DOCUMENT NUMBER: 117:171197
 TITLE: Enzymic formation of lactams in organic solvents
 AUTHOR(S): Gutman, Arie L.; Meyer, Elazar; Yue, Xu; Abell, Chris
 CORPORATE SOURCE: Dep. Chem., Technion-Israel Inst. Technol., Haifa, 32000, Israel
 SOURCE: Tetrahedron Letters (1992), 33(27), 3943-6
 CODEN: TELEAY; ISSN: 0040-4039
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 117:171197
 GI



AB Porcine pancreatic lipase in organic solvents **catalyzes** the intramol. cyclization of $\text{RNHCHR1(CH2)nCO2R2}$ ($\text{R} = \text{H, Me}$; $\text{R1} = \text{H, Me, CO2Et}$; $\text{R2} = \text{Et, CHMe2}$; $\text{n} = 2-4$) to lactams I and the formation of macrocyclic bislactams II ($\text{m} = 8, \text{p} = 10$; $\text{m} = 10, \text{p} = 12$) from diesters and diamines.

L5 ANSWER 64 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 64

ACCESSION NUMBER: 1992:447899 CAPLUS

DOCUMENT NUMBER: 117:47899

TITLE: Regio- and enantioselective bioreduction of ethyl
 2,4-dioxoalkanoates and γ -keto- α -
 enamino esters with fermenting
 bakers' yeast

AUTHOR(S) : Baraldi, Pier G.; Manfredini, Stefano; Pollini, Gian
P.; Romagnoli, Romeo; Simoni, Daniele; Zanirato,
Vinicio

CORPORATE SOURCE: Dip. di Sci. Farma., Univ. di Ferrara, Ferrara,
I-44100, Italy

SOURCE: Tetrahedron Letters (1992), 33(20), 2871-4

CODEN: TELEAY; ISSN: 0040-4039

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 117:47899

AB 2,4-Dioxoalkanoates, e.g., $\text{AcCH}_2\text{COCOC}_2\text{Me}$, and the parent

γ -keto- α - enamino esters, e.g.,

AcCH:C(NH₂)CO₂Me, are regioselectively reduced by bakers' yeast to

(R)- α -hydroxy- γ -ketoesters (R)-RCOCH₂CH(OH)CO₂R₁ (R-I; R, R₁ =

Me, Et, R = R1 = Me, Et), in moderate to good chemical yield and appreciable enantioselectivity. ~~Enantioselective hydrolysis~~ by pig liver esterase of

the acetyl derivs., e.g., $\text{AcCH}_2\text{CH}(\text{OAc})\text{CO}_2\text{Me}$, easily obtained by

acetylation of racemic α -hydroxy- γ -keto esters I, produced the

optically active (S)-I in good chemical (60-92%) and optical (53-92%) yield.

L5 ANSWER 65 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 65

ACCESSION NUMBER: 1992:151536 CAPLUS

DOCUMENT NUMBER: 116:151536

TITLE: An asymmetric ammonia synthon for Michael additions

AUTHOR(S) : Hawkins, Joel M.; Lewis, Timothy A.

CORPORATE SOURCE: Dep. Chem., Univ. California, Berkeley, CA, 94720, USA

SOURCE: Journal of Organic Chemistry (1992), 57(7), 2114-21

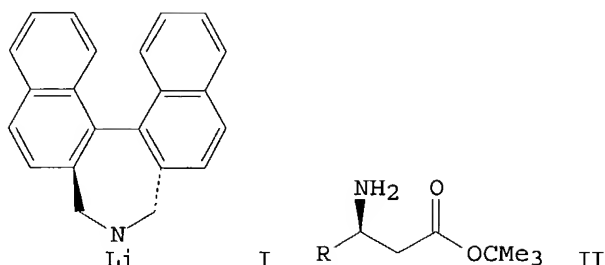
CODEN: JOCEAH; ISSN: 0022-3263

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S) : CASREACT 116:151536

GI

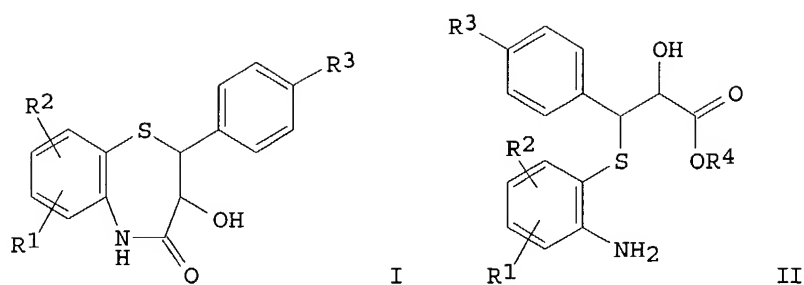


AB The highly diastereoselective 1,4-addition of lithioamine I to α,β -unsatd. esters, followed by hydrogenolysis of the benzylic-type C-N bonds of the 1,4-adducts, provides an asym. ammonia synthon for Michael addns. Under optimized conditions, I adds to α,β -unsatd. tert-Bu esters in dimethoxyethane at -63°C in high yield with very high diastereoselectivity. Small, large, functionalized, and chiral β -ester substituents are amenable, with (S)-I consistently adding to (E)-RCH:CHCO₂CMe₃ (e.g., R = Me, (CH₂)₆Me, CH₂CHMe₂, CHMe₂) from the top. Hydrogenolysis liberates the β -amino esters II with typically 95-99% enantiomeric excess.

L5 ANSWER 66 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 66
 ACCESSION NUMBER: 1992:21082 CAPLUS
 DOCUMENT NUMBER: 116:21082
 TITLE: **Preparation of 1,5-benzothiazepin-4(5H)-ones**
 by alkali metal alcoholate-catalyzed
 cyclization of 3-(2-aminophenylthio)propanoate esters
 INVENTOR(S): Hulshof, Lumbertus Albregt; Kuilman, Thijs
 PATENT ASSIGNEE(S): Stamicarbon B. V., Neth.
 SOURCE: Eur. Pat. Appl., 9 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

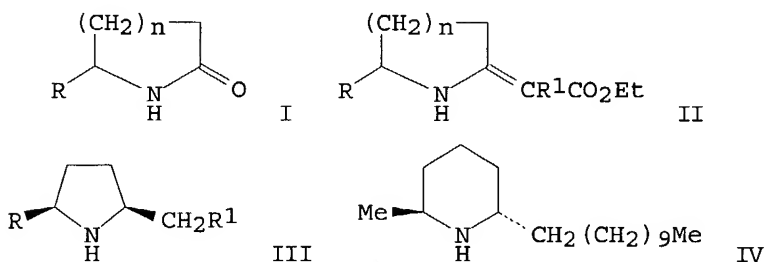
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 450705	A1	19911009	EP 1991-200703	19910327
R: BE, CH, DE, FR, GB, LI, NL				
NL 9000763	A	19911016	NL 1990-763	19900331
JP 04221376	A2	19920811	JP 1991-64345	19910328
PRIORITY APPLN. INFO.:			NL 1990-763	19900331
OTHER SOURCE(S):	MARPAT 116:21082			

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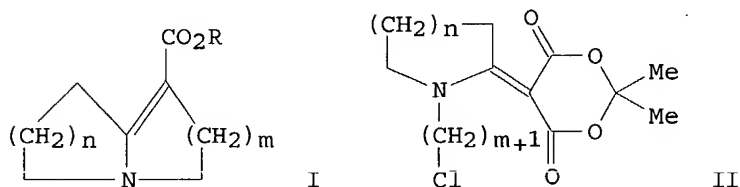
AB Title compds. (I; R1, R2 = H, halo, alkyl; R1R2 = CH:CHCH:CH; R3 = H, OH, alkoxy), were **prepared** by cyclization of **aminoesters** II (R4 = residual group) in the presence of an alkali metal alkanolate in an aprotic polar solvent. Thus, a -5° solution of Me (+)-(2S,3S)-2-hydroxy-3-(4-methoxyphenyl)-3-(2-aminophenylthio)propanoate in DMF was treated with solid KOCMe₃; the mixture was stirred 0.5 h at 0° to give 93.9% (+)-(2S,3S)-2-(4-methoxyphenyl)-3-hydroxy-2,3-dihydro-1,5-benzothiazepin-4(5H)one.

L5 ANSWER 67 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 67
 ACCESSION NUMBER: 1991:6243 CAPLUS
 DOCUMENT NUMBER: 114:6243
 TITLE: Stereoselective **synthesis** and stereochemical determination of 2,5-dialkylpyrrolidines and 2,6-dialkylpiperidines
 AUTHOR(S): Bacos, D.; Celerier, J. P.; Marx, E.; Rosset, S.; Lhommet, G.
 CORPORATE SOURCE: Lab. Chim. Heterocycles, Univ. P. et M. Curie, Paris, F-75252, Fr.
 SOURCE: Journal of Heterocyclic Chemistry (1990), 27(5), 1387-92
 CODEN: JHTCAD; ISSN: 0022-152X
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI



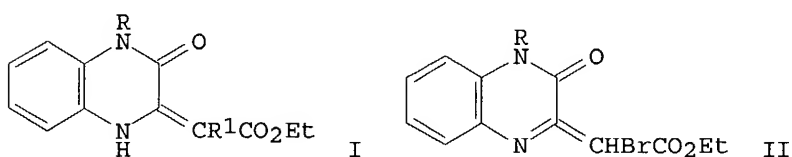
AB ω -Alkylactams I ($n = 1, 2$, R = H, Me) can be transformed into ω -alkyl cyclic β - **enaminoesters** II [R1 = octyl, decyl, tetradecyl, CH₂:CH(CH₂)₈] which are good precursors of insects venom alkaloids. A stereoselective **synthesis** of dialkylpyrrolidines, e.g., III, and Solenopsine A (IV) is described and stereochem. is determined by ¹³C NMR.

L5 ANSWER 68 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 68
 ACCESSION NUMBER: 1990:631194 CAPLUS
 DOCUMENT NUMBER: 113:231194
 TITLE: New synthetic approach to 1-azabicyclo[x.y.0]alkane skeletons from β -enamino diesters derived from Meldrum's acid
 AUTHOR(S): Haddad, Mansour; Celerier, Jean Pierre; Haviari, Gjergj; Lhomme, Gerard; Dhimane, Hamid; Pommelet, Jean Claude; Chuche, Josselin
 CORPORATE SOURCE: Lab. Chim. Heterocycles, Univ. Pierre et Marie Curie, Paris, 75252, Fr.
 SOURCE: Heterocycles (1990), 31(7), 1251-60
 CODEN: HTCYAM; ISSN: 0385-5414
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 113:231194
 GI



AB The title compds. I ($R = \text{Me, Et}$; $n, m = 1-3$) were prepared by decarboxylation and transesterification of β -enamino esters II (same m, n) followed by intramol. cyclization or I were obtained directly by flash thermolysis of II. I ($R = \text{Et}$; $n = 1-3$; $m = 1, 2$) were stereospecifically converted into β -amino alcs., i.e. lupinine, isoretronecanol, epilupinine, or trachelanthamidine.

L5 ANSWER 69 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 69
 ACCESSION NUMBER: 1990:478338 CAPLUS
 DOCUMENT NUMBER: 113:78338
 TITLE: Reactivity of cyclic β -enamino esters derived from quinoxaline
 AUTHOR(S): Essassi, El Mokhtar; Ferfra, Souad; Salem, Moussa; Zniber, Rachid
 CORPORATE SOURCE: Dep. Chim., Fac. Sci., Rabat, Morocco
 SOURCE: Bulletin des Societes Chimiques Belges (1990), 99(1), 47-60
 CODEN: BSCBAG; ISSN: 0037-9646
 DOCUMENT TYPE: Journal
 LANGUAGE: French
 OTHER SOURCE(S): CASREACT 113:78338
 GI



AB Phase-transfer-catalyzed alkylation of (carbethoxymethylene)quinoxalinones I ($R = H, Me$; $R_1 = H$) with alkyl halides gave II ($R = Me, R_1 = Me, Et$; $R = R_1 = Et$). Bromination gave (carbethoxybromomethyl)quinoxalinones II ($R = H, Me$), which were treated with KCN, $NaNO_2$, or NaN_3 to give I ($R_1 = cyano, NO_2, N_3$).

L5 ANSWER 70 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 70

ACCESSION NUMBER: 1990:198863 CAPLUS

DOCUMENT NUMBER: 112:198863

TITLE: Bicyclic β - enamino esters:

synthesis and stereospecific reduction

. Access to isoretronecanol, trachelanthamidine, lupinine, and epilupinine

AUTHOR(S): Celerier, J. P.; Haddad, M.; Saliou, C.; Lhommet, G.; Dhimane, H.; Pommelet, J. C.; Chuche, J.

CORPORATE SOURCE: Lab. Chim. Heterocycles, Univ. Pierre et Marie Curie, Paris, 75252, Fr.

SOURCE: Tetrahedron (1989), 45(19), 6161-70

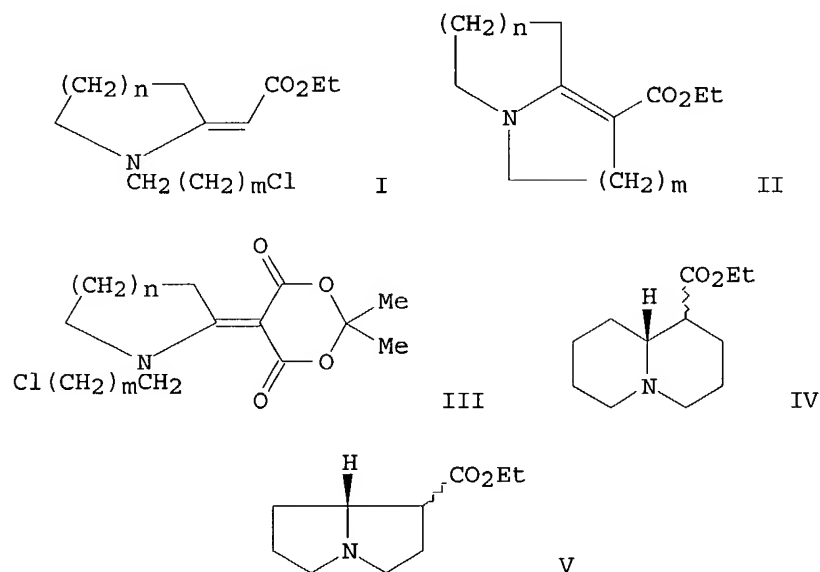
CODEN: TETRAB; ISSN: 0040-4020

DOCUMENT TYPE: Journal

LANGUAGE: French

OTHER SOURCE(S): CASREACT 112:198863

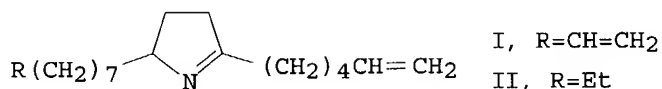
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AB The functionalized N-alkyl- β -enamioesters I ($n = m = 1, 2$) are precursors of nitrogen-bridged bicyclic β - enamioesters II. II are prepared either by intramol. alkylation of β - enamioesters II or by thermolysis of β - enamioesters III. Stereospecific reduction of compds. II under thermal control leads to bicyclic β - aminoesters IV and V which are good precursors of natural aminoalcs. like lupinine or isoretronecanol.

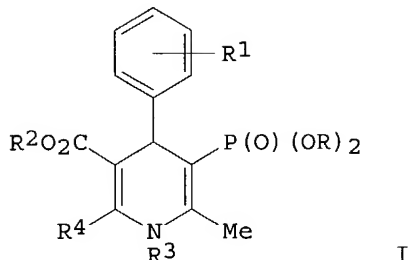
10/660,345

L5 ANSWER 71 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 71
ACCESSION NUMBER: 1988:567540 CAPLUS
DOCUMENT NUMBER: 109:167540
TITLE: Ant venom alkaloids from Monomorium species, natural insecticides
AUTHOR(S): Bacos, D.; Basselier, J. J.; Celerier, J. P.; Lange, C.; Marx, E.; Lhommet, G.; Escoubas, P.; Lemaire, M.; Clement, J. L.
CORPORATE SOURCE: Lab. Chim. Org. Struct., Univ. P. et M. Curie, Paris, 75232, Fr.
SOURCE: Tetrahedron Letters (1988), 29(25), 3061-4
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 109:167540
GI



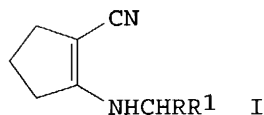
AB 2,5-Disubstituted pyrrolines (I and II) were isolated from Monomorium ant venom. Their structural determination (by IR, and 1H- and 13C NMR, and mass spectroscopy), **synthesis**, and biol. activity were described. Both I and II exhibited a strong paralyzing action towards termites and different insect species (Locusta migratoria, Pieris napi, and Musca domestica), but had very low toxicity against Monomorium.

L5 ANSWER 72 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 72
ACCESSION NUMBER: 1989:23995 CAPLUS
DOCUMENT NUMBER: 110:23995
TITLE: **Syntheses** and antihypertensive activities of 1,4-dihydropyridine-5-phosphonate derivatives. III
AUTHOR(S): Morita, Iwao; Haruta, Yuko; Tomita, Toshio; Tsuda, Masami; Kandori, Kazuhisa; Kise, Masahiro; Kimura, Kiyoshi
CORPORATE SOURCE: Res. Lab., Nippon Shinyaku Co., Ltd., Kyoto, 601, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (1987), 35(12), 4819-28
CODEN: CPBTAL; ISSN: 0009-2363
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 110:23995
GI



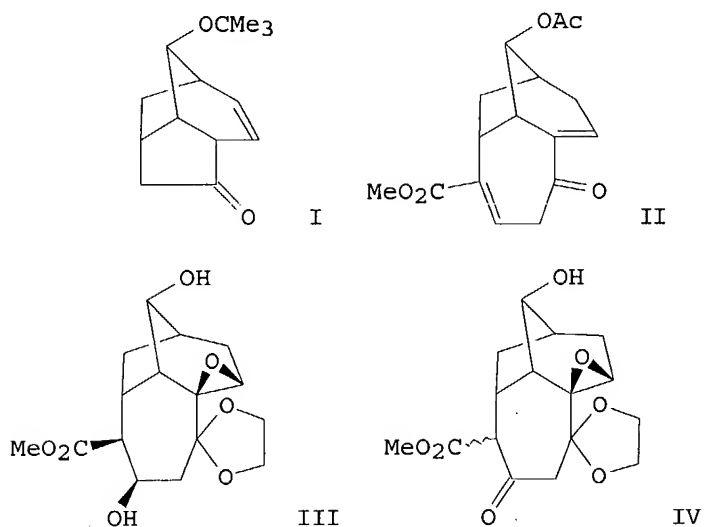
AB Phenylidihydropyridinephosphonates I [RR = (CH₂)₃, CH₂Me₂CH₂; R = CO₂Me, allyl; R₁ = 2-NO₂, 2-CF₃, 2-OCHF₂, 3-NO₂; R₂ = Me, CH₂CHMe₂, CH₂CH₂OCH₂Ph, CH₂CH₂OMe, CH₂CH₂NMeCH₂Ph, allyl; R₃ = Me, Et, Pr, allyl, CH₂Ph, CH₂CH₂OMe, NMe₂; R₄ = Me] were **prepared** by the cyclocondensation reaction of R₃NHCMe:CHCO₂R₂ with R₁C₆H₄CH:CAcP(O)(OR)₂ (II). I [R = allyl, RR = (CH₂)₃; R₁ = 2-NO₂, 3-NO₂, 2-CF₃; R₂ = Me; R₃ = H; R₄ = CH(OMe)₂] were **prepared** similarly by the reaction of II with (MeO)₂CHC(NH₂):CHCO₂Me. I [R₄ = CH(OMe)₂] was deprotected to give I (same R-R₃; R₄ = CHO). The latter were converted to I (R₄ = CH₂OH, CH:NOH, cyano). I were all tested for antihypertensive activity in normotensive and spontaneously hypertensive rats. I [RR = (CH₂)₃, R₁ = 2-NO₂, R₂ = Me, R₃ = R₄ = Me] shows higher antihypertensive activity than nifedipine, but lower than DHP-218.

L5 ANSWER 73 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 73
 ACCESSION NUMBER: 1988:149991 CAPLUS
 DOCUMENT NUMBER: 108:149991
 TITLE: **Synthesis** of (-)-(1R,2S)-norephedrine homologs
 AUTHOR(S): Lamant, Maurice; Guignard, Alain
 CORPORATE SOURCE: Lab. Chim. Org. II, Fac. Sci., Nantes, F-44000, Fr.
 SOURCE: Helvetica Chimica Acta (1987), 70(5), 1279-85
 CODEN: HCACAV; ISSN: 0018-019X
 DOCUMENT TYPE: Journal
 LANGUAGE: French
 OTHER SOURCE(S): CASREACT 108:149991
 GI



AB **Cyanoenamino esters** I (R = Me, CHMe₂, CH₂CHMe₂, CHMeEt, CH₂CH₂SMe; R₁ = CO₂Et) of L-amino acids were converted to norephedrine homologs (1R,2S)-H₂NCHRCHPhOH (II) in 4 steps. Amidation of I (R₁ = CO₂Et) with piperidine followed by reaction with PhLi gave enaminomethyl Ph ketones, which were stereoselectively reduced with NaBH₄ to give mainly (R = Me, CH₂CHMe₂) or exclusively (R = CHMe₂, CHMeEt, CH₂CH₂SMe) erythro-enaminomethyl Ph carbinols I (R₁ = CHPhOH). Hydrolysis of the enamine function then gave II.

L5 ANSWER 74 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 74
 ACCESSION NUMBER: 1987:515820 CAPLUS
 DOCUMENT NUMBER: 107:115820
 TITLE: Total **synthesis** of C19-diterpene alkaloids:
 construction of a functionalized BCD-ring system
 AUTHOR(S): Van Beek, G.; Van der Baan, J. L.; Klumpp, G. W.;
 Bickelhaupt, F.
 CORPORATE SOURCE: Scheikd. Lab., Vrije Univ. Amsterdam, Amsterdam, 1081
 HV, Neth.
 SOURCE: Tetrahedron (1986), 42(18), 5111-22
 CODEN: TETRAB; ISSN: 0040-4020
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 107:115820
 GI



AB The construction of the BCD-ring system of C19-diterpene alkaloids was initiated by development of a ring expansion reaction of cyclic **enamino-esters** with propiolic acid esters, leading from the 5-membered ring ketone I to the 7-membered ring ketone II. Epoxidn. and stereospecific **reductive** epoxide ring opening to give hydroxy ester III were subsequent key-steps which eventually furnished cyclic β -keto ester IV. This versatile intermediate has a full potential of functional groups suited for further elaboration into the A-, E- and F-rings and substituents of a variety of C19-diterpene alkaloids.

L5 ANSWER 75 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 75
 ACCESSION NUMBER: 1986:442703 CAPLUS
 DOCUMENT NUMBER: 105:42703
 TITLE: Heterocyclic β - **enamino esters**
 . 41. Vinologous principle on 6,7-dihydro-1H-azepines; cycloaddition and novel rearrangement to 2,3,3a,7a-tetrahydroindoles. Thermal [2 + 2]cycloadditions with 4-substituted 1,2,4-triazoline-3,5-dione
 AUTHOR(S): Wamhoff, Heinrich; Fassbender, Franz Josef; Hendrikx,

10/660,345

CORPORATE SOURCE: Georg; Puff, Heinrich; Woller, Petra
Inst. Org. Chem. Biochem., Univ. Bonn, Bonn, D-5300/1,
Fed. Rep. Ger.
SOURCE: Chemische Berichte (1986), 119(7), 2114-26
CODEN: CHBEAM; ISSN: 0009-2940
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 105:42703
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The conjugated π -systems of 6,7-dihydro-oxepines, -thiepinines, and -azepines, e.g., I, II, and III [R = Ph3P:N (IV), H2N (V)] show a remarkable alternation of their ¹³C NMR shifts. In a cycloaddn.-ring enlargement sequence IV reacts with R1O2CC.tplbond.CCO2R1 (R1 = Me, Et) at the 4,5-double bond to afford first intermediary 8,9-dihydro-1H-azonines which yield indoles via thermal 6 π -electron cyclization and subsequent rearrangement gives 2,3, 3a,7a-tetrahydroindoles VI. The structure of VI (R1 = Me) was established by x-ray diffraction. A polar [2+2]-cycloaddn. of 1,2,4-triazoline-3,5-diones with IV and V gave the [1,2,4]triazolo[1',2':1,2]diazet[3,4-d]azepines VII (R2 = Me, Ph), and with a hexamethylenebis[bis-TAD triazolinedione] a 2:1-adduct was formed. Treatment of VII (R = Ph3P:N, R2 = Me) with PhNCO gives a carbodiimide which is converted by base **catalyzed** ring closure into the pyrimido[4,5-b]azepine VIII.

L5 ANSWER 76 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 76

ACCESSION NUMBER: 1987:423050 CAPLUS

DOCUMENT NUMBER: 107:23050

TITLE: **Synthesis of enamino esters**

AUTHOR(S): Sosnovskikh, V. Ya.; Ovsyannikov, I. S.; Nikol'skii, A. L.

CORPORATE SOURCE: Ural. Gos. Univ., Sverdlovsk, USSR

SOURCE: Zhurnal Organicheskoi Khimii (1986), 22(8), 1775-6
CODEN: ZORKAE; ISSN: 0514-7492

DOCUMENT TYPE: Journal

LANGUAGE: Russian

OTHER SOURCE(S): CASREACT 107:23050

AB Reaction of RCN (R = Ph, 4-MeOC6H4) with AcOR1 (R1 = CMe3, CHMe2, Et) in Et2O-PhMe containing Et2NMgBr gave 18-36% title compds., H2NCR:CCO2R1 (same R, R1).

L5 ANSWER 77 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 77

ACCESSION NUMBER: 1986:497899 CAPLUS

DOCUMENT NUMBER: 105:97899

TITLE: Reaction of Schiff base anions with α,ω -dihaloalkanes: synthetic route to cyclic α -amino acid derivatives

AUTHOR(S): Joucla, M.; El Goumzili, M.

CORPORATE SOURCE: Groupe Rech. Physicochim. Struct., CNRS, Rennes, 35042, Fr.

SOURCE: Tetrahedron Letters (1986), 27(15), 1681-4

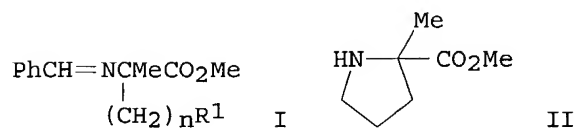
CODEN: TELEAY; ISSN: 0040-4039

DOCUMENT TYPE: Journal

LANGUAGE: English

10/660,345

OTHER SOURCE(S): CASREACT 105:97899
GI



AB Schiff base anions of α -aminoesters, obtained from LDA/THF, underwent copper-catalyzed substitution reactions with α,ω -dihaloalkanes to give ω -haloalkylimines, which were converted to cyclic α -amino acid derivs. under anionic and thermal conditions. Thus, $\text{PhCH:NCHMeCO}_2\text{Me}$ was converted into the anion, which was alkylated with $\text{R}(\text{CH}_2)_n\text{R}^1$ ($\text{R}, \text{R}^1 = \text{Cl}, \text{Br}; n = 1, 2, 3$) in the presence of a Cu catalyst, e.g. CuCl_2 or Li_2CuCl_4 , to give the corresponding alkylated derivs. I. I ($n = 3, \text{R}^1 = \text{Cl}$) was refluxed in THF containing NaI to give cyclic amino acid II.

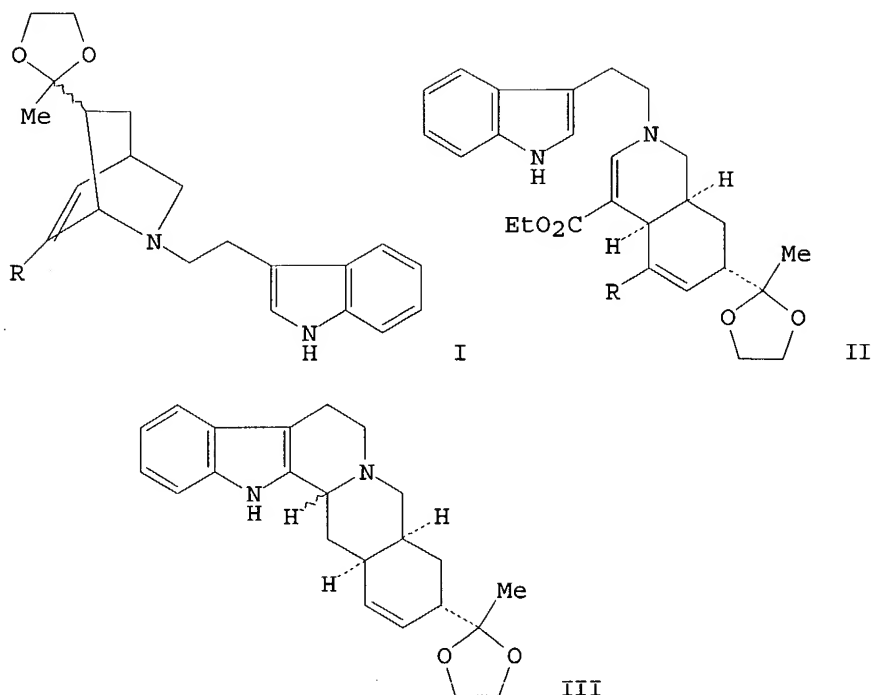
L5 ANSWER 78 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 78

ACCESSION NUMBER: 1986:207628 CAPLUS
DOCUMENT NUMBER: 104:207628
TITLE: Electrolysis of substituted α -azidocinnamic and azidoacrylic esters. Electrolytic studies on vinyl azides. III
AUTHOR(S): Knittel, Dierk
CORPORATE SOURCE: Inst. Phys. Chem., Univ. Hamburg, Hamburg, D-2000/13, Fed. Rep. Ger.
SOURCE: Monatshefte fuer Chemie (1985), 116(10), 1133-40
CODEN: MOCMB7; ISSN: 0026-9247
DOCUMENT TYPE: Journal
LANGUAGE: German
OTHER SOURCE(S): CASREACT 104:207628

AB Title azido esters $\text{RCH:C(N}_3\text{)CO}_2\text{Me}$ ($\text{R} =$ substituted Ph, 2-furyl, 2-thienyl, N-benzyl-3-indolyl) underwent cathodic reduction under aprotic and protic conditions. Good to excellent yields of rather labile dehydroamino acid derivs. and stable N,N-diacylated enaminoesters were selectively obtainable.

L5 ANSWER 79 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 79

ACCESSION NUMBER: 1983:595263 CAPLUS
DOCUMENT NUMBER: 99:195263
TITLE: A novel synthetic approach to reserpine based upon amino-Claisen rearrangements of zwitterionic N-vinylisoquinuclidenes
AUTHOR(S): Kunng, Fen Ann; Gu, Jia Ming; Chao, Schouchung; Chen, Yuhpyng; Mariano, Patrick S.
CORPORATE SOURCE: Dep. Chem., Univ. Maryland, College Park, MD, 20742, USA
SOURCE: Journal of Organic Chemistry (1983), 48(23), 4262-6
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



AB The development of a general hydroisoquinoline synthetic methodol. based on amino-Claisen rearrangements of zwitterionic N-vinylisoquinuclidenes was described. (Indolyethyl)isoquinuclidenes I (R = H, CO₂Me) undergo reactions with Et propiolate to afford the cis-fused hydroisoquinolines II. Mechanisms involving reversible formation of dipolar N-vinylisoquinuclidenes and stepwise conversion to isoquinolines are discussed. The overall synthetic utility of this **process** coupled with Wenkert cyclization of the hydroisoquinoline β -**enamino esters** in routes to reserpines is demonstrated by the **preparation** of the pentacyclic system III.

L5 ANSWER 80 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 80

ACCESSION NUMBER: 1983:110351 CAPLUS

DOCUMENT NUMBER: 98:110351

TITLE: Lubricant for cold metalworking

INVENTOR(S): Markov, V. I.; Bychkova, N. F.; Breskina, A. I.; Shcherbak, R. Ya.; Korobochkin, I. Yu.; Tarasenko, V. A.; Uvarova, R. E.; Trambai, L. Ya.; Shlyakhovoi, A. A.; Kuznetsova, E. A.

PATENT ASSIGNEE(S): Dnepropetrovsk Chemical-Technological Institute, USSR
SOURCE: U.S.S.R. From: Otkrytiya, Izobret., Prom. Obraztsy, Tovarnye Znaki 1982, (39), 134.

CODEN: URXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Russian

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
SU 968060	A1	19821023	SU 1981-3308794	19810619

PRIORITY APPLN. INFO.:

SU 1981-3308794 19810619

AB A lubricant for metalworking was **prepared** by the esterification of fatty acid wastes from the sebacic acid production in the presence of an acid **catalyst**. A product with good antifriction properties was obtained by reacting mono-, di- or triethanolamine, or N,N,N1,N1-tetrakis(2-hydroxypropyl)ethylenediamine with tri-Bu phosphate and then esterifying the fatty acid residues from sebacic acid production with it at 120-160 in the presence of HF as the **catalyst**.

L5 ANSWER 81 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 81

ACCESSION NUMBER: 1983:4424 CAPLUS

DOCUMENT NUMBER: 98:4424

TITLE: Expeditionary **synthesis** of 2,3-dihydro-1H-pyrrolo[1,2-a]indoles, pyrroloindole quinones, and related heterocycles via Nenitzescu-type condensation of quinone monoketals with exocyclic **enamino esters**

AUTHOR(S): Coates, Robert M.; MacManus, Patrick A.

CORPORATE SOURCE: Dep. Chem., Univ. Illinois, Urbana, IL, 61801, USA

SOURCE: Journal of Organic Chemistry (1982), 47(24), 4822-4

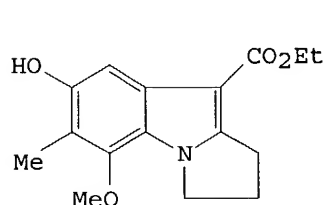
CODEN: JOCEAH; ISSN: 0022-3263

DOCUMENT TYPE: Journal

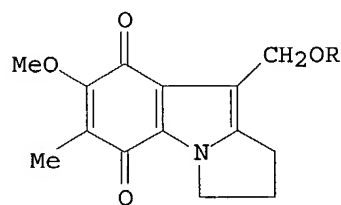
LANGUAGE: English

OTHER SOURCE(S): CASREACT 98:4424

GI



II



III

AB Condensation of the Na salt of Et pyrrolidinyldiene-2-acetate (I) with 3-methoxy-2-methylquinone 4-monoketal produced a bridged bicyclic Michael adduct, which underwent acid-**catalyzed** aromatization to the pyrrolo[1,2-a]-indolecarboxylate II. II was also **prepared** by condensation of I with 3-methoxyquinone 4-monoketal, in situ methylation, and acid-**catalyzed** rearrangement. Similar modified Nenitzescu reactions of the quinone monoketals with 5-, 6-, and 7-membered exocyclic **enamino esters** gave a series of [1,2-a]annelated 5-methoxyindole-9-carboxylates. II was converted to decarbamoyl 7-methoxymitosene (III, R = H) in 49% overall yield by Fremy salt oxidation to the o-quinone, ether cleavage with BBr₃, methylation with CH₂N₂ to the 7-methoxypyrroloindoloquinone, and **reduction** with LiAlH₄ followed by reoxidn. to the quinone III (R = H). Attachment of the carbamate group afforded 7-methoxymitosene (III, R = CONH₂).

L5 ANSWER 82 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 82

ACCESSION NUMBER: 1982:217781 CAPLUS

DOCUMENT NUMBER: 96:217781

TITLE: Heterocyclic β - **enamino esters**
 . 29. Base **catalyzed** N-methylene linkage
 with formaldehyde - new bis(1,3-oxazines)

AUTHOR(S): Wamhoff, Heinrich; Hendrikx, Georg; Ertas, Mumtaz

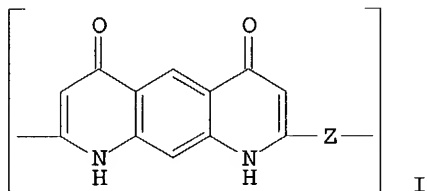
CORPORATE SOURCE: Inst. Org. Chem. Biochem., Univ. Bonn, Bonn, D-5300/1,

SOURCE: Fed. Rep. Ger.
 Liebigs Annalen der Chemie (1982), (3), 489-98
 CODEN: LACHDL; ISSN: 0170-2041
 DOCUMENT TYPE: Journal
 LANGUAGE: German
 OTHER SOURCE(S): CASREACT 96:217781
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Enamine esters and nitriles I (R = CO₂Et, cyano), II, and III were coupled with HCHO to give 19-82% the corresponding methylenediamines, e.g. IV. Pyrazoline V gave the 2:2 adduct VI. I (R = CO₂Et) condensed with MeCHO to give the corresponding methylmethylenediamine. Me and Et 3-aminocrotonates and HCHO gave dihydropyridine VII (R₁ = Me, Et). IV (R = CO₂Et) reacted with (CH₂COCl)₂ to give the CH₂ elimination product VIII; I (R = CO₂Et) gave only polymeric products. IV (R = CO₂Et) did not react with o-C₆H₄(COCl)₂, but I (R = CO₂Et) gave the 2-phthalimido analog. Diamides IX-XII, prepared from the corresponding amines, cyclized on treating with Ph₃PCl₂ to give bis(oxazines) XIII (Z the same) and XIV.

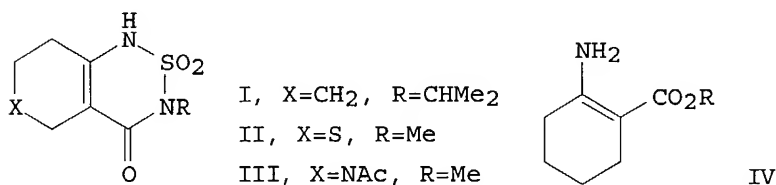
L5 ANSWER 83 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 83
 ACCESSION NUMBER: 1981:31110 CAPLUS
 DOCUMENT NUMBER: 94:31110
 TITLE: Polyenaminoesters from α,α' -bis(carbomethoxy)diacetylbenzenes and phenylene diamines
 AUTHOR(S): Moore, J. A.; Mitchell, T. D.
 CORPORATE SOURCE: Dep. Chem., Rensselaer Polytech. Inst., Troy, NY, 12181, USA
 SOURCE: Journal of Polymer Science, Polymer Chemistry Edition (1980), 18(10), 3029-41
 CODEN: JPLCAT; ISSN: 0449-296X
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 GI



AB The title polymers were prepared by heating m- or p-phenylenediamine [106-50-3] with p-C₆H₄(COCH₂CO₂Me)₂ [76020-30-9] or the m-isomer [75160-05-3] in EtOH or N-methylpyrrolidinone containing Me₂NPh.HCl [5882-44-0]. The copolymers were cyclized by a Conrad-Limpach reaction to give the polymers I (Z = m-C₆H₄ [75160-09-7], Z = p-C₆H₄ [75160-11-1]). 1,4-Bis[4-oxo-(1H)-2-quinolyl]benzene [76020-31-0] and 1H,6H-4,9-dioxo-2,7-diphenylpyrido[2,3-g]quinoline [24346-88-1] were prepared as model compds.

L5 ANSWER 84 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 84
 ACCESSION NUMBER: 1979:523624 CAPLUS
 DOCUMENT NUMBER: 91:123624
 TITLE: Lactim ether chemistry. Cyclic β -enamino ester **synthesis**
 AUTHOR(S): Celerier, Jean Pierre; Deloisy, Elisabeth; Lhommet, Gerard; Maitte, Pierre
 CORPORATE SOURCE: Lab. Chim. Heterocycles, Univ. Pierre et Marie Curie, Paris, 75230, Fr.
 SOURCE: Journal of Organic Chemistry (1979), 44(17), 3089
 CODEN: JOCEAH; ISSN: 0022-3263
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 91:123624
 GI For diagram(s), see printed CA Issue.
 AB A facile **synthesis** of enamine esters I ($n = 3, 4, 5$) in overall yields of 57, 31, and 33%, resp., was described. Reaction of lactim ethers II (n the same) with isopropylidene malonate [in the presence of piperidinium acetate **catalyst** for II ($n = 5$)] gave 94, 76, and 58%, resp., malonates III, which were cleaved with NaOEt to give 91, 60, and 82%, resp., I ($n = 3, 4, 5$).

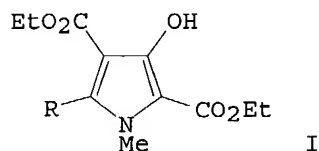
L5 ANSWER 85 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 85
 ACCESSION NUMBER: 1978:579964 CAPLUS
 DOCUMENT NUMBER: 89:179964
 TITLE: Cyclic sulfamides: **synthesis** of some fused tetrahydrobenzo- and tetra- and dihydroheterothiadiazinone 2,2-dioxides
 AUTHOR(S): Kloek, James A.; Leschinsky, Kindrick L.
 CORPORATE SOURCE: Res. Dep., Monsanto Agric. Prod. Co., St. Louis, MO, USA
 SOURCE: Journal of Organic Chemistry (1978), 43(20), 3824-7
 CODEN: JOCEAH; ISSN: 0022-3263
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 89:179964
 GI



AB General **methods** for the **synthesis** of the title compds. (I-III) are described. The 2 key steps in these **synthesis** are the regiospecific sulfamoylation of primary **enamino esters** IV ($R = Et, Me_3C$) and an acid-**catalyzed** ring closure procedure which offers distinct advantages over existing **methods**. Thus the title compds. bearing bulky alkyl groups on N-3 are available in high yield from available β -keto esters.

L5 ANSWER 86 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 86
 ACCESSION NUMBER: 1977:439239 CAPLUS
 DOCUMENT NUMBER: 87:39239

TITLE: **Synthesis** of some 3-hydroxy-5-pyridylpyrrole derivatives
 AUTHOR(S): Campaigne, E.; Shutske, G. M.; Payne, John C.
 CORPORATE SOURCE: Chem. Lab., Indiana Univ., Bloomington, IN, USA
 SOURCE: Journal of Heterocyclic Chemistry (1977), 14(2), 329-31
 CODEN: JHTCAD; ISSN: 0022-152X
 DOCUMENT TYPE: Journal
 LANGUAGE: English
 OTHER SOURCE(S): CASREACT 87:39239
 GI



AB MeNHCH₂CO₂Et added to (2-, 3-, and 4-pyridylmethylidene)malonates to give Michael adducts, which were cyclized to oxopyrrolidine diesters under Dieckmann conditions. Mild oxidation converted the crude adducts to the isomeric 1-methyl-3-hydroxy-5-pyridylpyrrole-2,4-dicarboxylates I (R = 2-, 3-, 4-pyridyl). Addition of H₂NCH₂CO₂Et to Et picolinoylacetate or nicotinoylacetate gave the corresponding **enamino esters**, which did not cyclize under standard Dieckmann conditions.

L5 ANSWER 87 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 87
 ACCESSION NUMBER: 1978:18083 CAPLUS
 DOCUMENT NUMBER: 88:18083
 TITLE: Comparative analysis of the effect of low-molecular-weight substrate fragments and their analogs on the activity of phospholipases A₂ from pig pancreas and cobra and bee venoms
 AUTHOR(S): Litvinko, N. M.; Khurgin, Yu. I.; Kaverzneva, E. D.; Akhrem, A. A.
 CORPORATE SOURCE: Inst. Org. Khim. im. Zelinskogo, Moscow, USSR
 SOURCE: Vestsi Akademii Navuk BSSR, Seryya Khimichnykh Navuk (1977), (5), 105-13
 CODEN: VBSKAK; ISSN: 0002-3590
 DOCUMENT TYPE: Journal
 LANGUAGE: Russian

AB The inhibitory effect of alkylammonium compds. (R₁R₂R₃R₄N⁺), amino acids, peptides, **aminoesters** of benzoic acid, and choline analogs, [(Me)₃N⁺(CH₂)_nXR]·Y, on the activity of phospholipase A₂ from pig pancreas, cobra venom, and bee venom was studied using a gel diffusion **method** in lecithin-agarose gel. The results indicate that there are 3 centers in the phospholipase A₂ active site: a **catalytic** (esterase) center, a cationic center, and an anionic center. The pancreatic and snake venom enzymes showed some similar reaction characteristics, whereas the bee enzyme showed greater differences. The contribution of each subsite in substrate interaction apparently differs in enzymes from different sources.

L5 ANSWER 88 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 88
 ACCESSION NUMBER: 1976:422229 CAPLUS
 DOCUMENT NUMBER: 85:22229
 TITLE: Polarographic study of the copolymerization of

unsaturated polyesters in the presence of amino compounds

AUTHOR(S): Kozyreva, N. G.; Kiseleva, V. M.; Grad, N. M.; Al'shits, I. M.

CORPORATE SOURCE: USSR

SOURCE: Zhurnal Prikladnoi Khimii (Sankt-Peterburg, Russian Federation) (1976), 49(4), 920-1
CODEN: ZPKHAB; ISSN: 0044-4618

DOCUMENT TYPE: Journal

LANGUAGE: Russian

AB Crosslinking of ethylene glycol-maleic anhydride-phthalic anhydride polymer (I) [27837-75-8] with triethylene glycol dimethacrylate [109-16-0] is significantly affected by the composition of the initiator systems containing **aminoesters** of methacrylic acid as components. A polarographic **method** was used for determination of the crosslinking degree of I in the presence of **catalysts** containing dicumyl peroxide and Co complex with triethanolamine trimethacrylate [13884-43-0], (diethylamino)ethyl methacrylate [105-16-8] or (phenylamino)ethyl methacrylate [19288-59-6]. An increase in the crosslinking degree was observed in the presence of amino esters of a higher alkalinity, forming complexes with Co.

L5 ANSWER 89 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 89

ACCESSION NUMBER: 1975:479191 CAPLUS

DOCUMENT NUMBER: 83:79191

TITLE: Heterocyclic β - **enamino esters**
. 14. Heterocondensed indoles by reaction of ethyl 2-amino-3-indolecarboxylate with imidates, lactim ethers, and 1,3-dicarbonyl compounds

AUTHOR(S): Wamhoff, Heinrich; Wehling, Bernhard

CORPORATE SOURCE: Org.-Chem. Inst., Univ. Bonn, Bonn, Fed. Rep. Ger.

SOURCE: Chemische Berichte (1975), 108(6), 2107-14
CODEN: CHBEAM; ISSN: 0009-2940

DOCUMENT TYPE: Journal

LANGUAGE: German

OTHER SOURCE(S): CASREACT 83:79191

GI For diagram(s), see printed CA Issue.

AB Indolecarboxylate I reacted with imidates $RC(:NH)OEt$ ($R = Ph, PhCH_2$) with polyphosphoric acid **catalysis** to give pyrimido[4,5-b]indoles II. I and lactim ethers III ($n = 1-3$) gave pyrrolo-, pyrido-, and azepino[1',2':1,2]pyrimido[4,5-b]indoles IV. I cyclizes with dicarbonyl compds. $(EtO_2C)_2CH_2$, $MeCOCH_2CO_2Et$, $(MeCO)_2CH_2$, and $NCCH_2CO_2Et$ to pyrimido[1,2-a]indoles give V, VI, VII, and VIII, resp.

L5 ANSWER 90 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1975:480063 CAPLUS

DOCUMENT NUMBER: 83:80063

TITLE: Water-soluble anion exchange resins based on methacrylic acid β - **aminoesters**

AUTHOR(S): Korshak, V. V.; Tevlina, A. S.; Skripchenko, N. I.; Strakhovskaya, I. G.; Kovaleva, N. B.

CORPORATE SOURCE: Mosk. Khim.-Tekhnol. Inst. im. Mendeleeva, Moscow, USSR

SOURCE: Vysokomolekulyarnye Soedineniya, Seriya B: Kratkie Soobshcheniya (1975), 17(5), 401-4
CODEN: VYSBAI; ISSN: 0507-5483

DOCUMENT TYPE: Journal

LANGUAGE: Russian

AB The concentration and degree of alkylation of water-soluble anion exchangers, **prepared** from polymers of β -amino esters of methacrylic acid degree alkylation with dimethyl sulfate [77-78-1] in presence of methyl

p-toluenesulfonate [80-48-8] were determined by UV spectroscopy. Alkylated poly[2-(diethylamino)ethyl methacrylate] [25119-82-8] and poly[1,3-bis(dimethylamino)isopropyl methacrylate] [29032-41-5] were examined, and an increase in their degree of alkylation increased the maximum of the optical d. on UV absorption curves. The ion exchange capacity of the polymers increased with increasing degree of alkylation. A linear dependence of the **reduced** viscosity of the polymer on concentration was observed, whereas intrinsic viscosity depended on the mol. weight of the original polymer. An extreme dependence of **reduced** viscosity on the degree of polymer alkylation was observed. A linear dependence of the equivalent elec. conductivity of aqueous solns. of the anion exchangers on concentration and degree of alkylation was observed, with elec. conductivity of quaternary ammonium salts of polymers lower than of corresponding monomer salts.

L5 ANSWER 91 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 90

ACCESSION NUMBER: 1973:536951 CAPLUS

DOCUMENT NUMBER: 79:136951

TITLE: **Synthesis of α -aminoaldehydes by reduction of α -aminoamides and α -aminoesters**

AUTHOR(S): Duhamel, Lucette; Duhamel, Pierre; Siret, Patrice
CORPORATE SOURCE: Lab. Chim. Org., Fac. Sci. Tech. Rouen, Mont-Saint-Aignan, Fr.

SOURCE: Bulletin de la Societe Chimique de France (1973), (7-8) (Pt. 2), 2460-6
CODEN: BSCFAS; ISSN: 0037-8968

DOCUMENT TYPE: Journal

LANGUAGE: French

GI For diagram(s), see printed CA Issue.

AB Aldehydes RR1CHCHO (R = Ph, Et, H; R1 = piperidino, morpholino, NET2, NMe2, NPr2) and I (R2 = Me, CMe3; n = 1-4) were **prepared by reduction** of the corresponding carboxylic esters with LiAlH4 or AlH(CH2CHMe2)2. PhCHR1CHO (R1 = piperidino, pyrrolidino, morpholino, NET2, cyclohexyl(methyl)amino) were also obtained by LiAlH4 **redn** of PhCHR1CONMePh. The corresponding alcs. were also formed.

L5 ANSWER 92 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1974:464020 CAPLUS

DOCUMENT NUMBER: 81:64020

TITLE: **Synthesis of poly(amidequinazolinediones)**

AUTHOR(S): Iwakura, Yoshio; Uno, Keikichi; Ngyuen Chau

CORPORATE SOURCE: Fac. Eng., Univ. Tokyo, Tokyo, Japan

SOURCE: Polymer Journal (Tokyo, Japan) (1973), 5(3), 301-8
CODEN: POLJB8; ISSN: 0032-3896

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Aromatic diamines were treated with p-phenoxy-carbonylaminobenzoyl chloride (I) [50265-21-9] to give bisurethanes (II, X = p-C6H4, p-C6H4C6H4-p, p-C6H4CH2-p-C6H4, p-C6H4O-p-C6H4) which were refluxed in pyridine, or treated in the solid state, with aromatic bis-o-amino esters to give poly(amidequinazolinediones), e.g. III. Phenol [108-95-2] was treated with p-isocyanatobenzoyl chloride [3729-21-3] to give I. The bisurethanes obtained from I had no m.p. but dissociated into the isocyanate compds. and PhOH at 210-330.deg.. In a model condensation reaction phenyl N-phenylcarbonate [4930-03-4] was refluxed 5 hr with Me anthranilate [134-20-3] to give 69% 3-phenyl-2,4-(1H,3H) quinazolinedione (IV) [603-23-6] m. 279.deg.. Refluxing Me N-(phenylcarbonyl)anthranilate [2321-50-8] 5 hr in pyridine gave 85% IV and confirmed that the quinazolinedione was formed by a ring-closing reaction of the urea. Solution

polymerization of bis-o-aminoesters with bisurethanes gave .geq.62% yields of polyamides containing quinazolinedione rings in the main chain and these polymers had a 2-step weight loss at 210 and 360.deg.. After heat treatment 4 hr at 200-300.deg. only a single weight loss was observed over 360.deg. indicating that the ring closing reaction was incomplete after solution polymerization. The polycondensation was also carried out under **reduced** pressure at 210-300.deg. and the .geq.84% polymer obtained had slightly higher mol. wts. than the solution polymers. Differential scanning calorimetry of the solid state polymerization supported a mechanism involving slow dissociation of the bisurethane into PhOH and an isocyanate, which underwent rapid addition with the bis-o-amine ester, followed by ring closing.

L5 ANSWER 93 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 91

ACCESSION NUMBER: 1972:501057 CAPLUS

DOCUMENT NUMBER: 77:101057

TITLE: Partial asymmetric **synthesis** of β -**arylaminoesters**

AUTHOR(S): Simova, E.; Beloslatinska, R. P.; Lyapova, M. I.; Kurtev, B. I.

CORPORATE SOURCE: Inst. Inorg. Chem., Bulg.

SOURCE: Doklady Bolgarskoi Akademii Nauk (1972), 25(5), 641-4
CODEN: DBANAD; ISSN: 0366-8681

DOCUMENT TYPE: Journal

LANGUAGE: English

GI For diagram(s), see printed CA Issue.

AB PhCH:NPh and (-)-PhCH₂CO₂R (R = menthyl) were refluxed with NaNH₂ in Et₂O to give (-)-PhCH-(NHPH)CHPhR₁ (I, R₁ = CO₂R) (II). The configuration of II was erythro, as determined by **reduction** with LiAlH₄ to the corresponding (-)-erythro-propanol (I, R₁ = CH₂OH) and by conversion to the (-)-cis-oxazine (III).

L5 ANSWER 94 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 92

ACCESSION NUMBER: 1972:34177 CAPLUS

DOCUMENT NUMBER: 76:34177

TITLE: Heterocyclic β - **enamino esters**

. IV. Reaction of 2-amino-3-(ethoxycarbonyl)-4,5-dihydrofurans with phenyl azide and N- α -chlorobenzylidene)-N'-phenylhydrazine

AUTHOR(S): Wamhoff, Heinrich; Sohar, Pal

CORPORATE SOURCE: Org. Chem. Inst., Univ. Bonn, Bonn, Fed. Rep. Ger.

SOURCE: Chemische Berichte (1971), 104(11), 3510-18

CODEN: CHBEAM; ISSN: 0009-2940

DOCUMENT TYPE: Journal

LANGUAGE: German

OTHER SOURCE(S): CASREACT 76:34177

GI For diagram(s), see printed CA Issue.

AB Reaction of 2-amino-3-(ethoxycarbonyl)-4,5-dihydrofuran (I) or its 5-methyl derivative (II) with PhN₃ gave 43% 5-amino-4-[2-(ethoxycarbonyloxy)ethyl]-1-phenyl-1,2,3-triazole (III) or 41% of the 4-[2-(ethoxycarbonyloxy)propyl] analog, resp. Reaction of I or II with PhNHN:CPhCl gave 38% α -(2,5-diphenyl-1,2,4-triazol-3-yl)- γ -butyrolactone (IV) or 35% of its γ -methyl analog, resp., **reduction** of which with LiAlH₄ yielded the corresponding 2-substituted 1,4-butanediol or 1,4-pentanediol, resp. Reaction of the open chain I analog RR1NCMe:CHCO₂Et with diphenylnitrilimine gave 5-methyl-1,3-diphenyl-4-(ethoxycarbonyl)pyrazole.

L5 ANSWER 95 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 93

ACCESSION NUMBER: 1969:53404 CAPLUS

DOCUMENT NUMBER: 70:53404
 TITLE: Polarographic investigation of the kinetics and mechanism of the alkaline hydrolysis of **aminoesters** of α, β -unsaturated acids. I
 AUTHOR(S): Tur'yan, Ya. I.; Ignat'eva, F. K.; Korshunov, M. A.
 CORPORATE SOURCE: Nauch.-Issled. Inst. Monomer. Sin. Kauch., Yaroslavl, USSR
 SOURCE: Zhurnal Obshchei Khimii (1968), 38(11), 2405-11
 CODEN: ZOKHA4; ISSN: 0044-460X
 DOCUMENT TYPE: Journal
 LANGUAGE: Russian

AB Polarographic data were reported for $\text{CH}_2: \text{CMeCO}_2\text{CH}_2\text{CH}_2\text{NRR}'$, where R and R' = H, tert-Bu; Et, Et; Me, Bu; Me, Me; Me, $\text{CH}_2\text{CH}:\text{CH}_2$; and $\text{CH}_2\text{CH}:\text{CH}_2$, $\text{CH}_2\text{CH}:\text{CH}_2$. The data were used to follow the kinetics of alkaline hydrolysis of these esters at various Ph levels. Hydrolysis by pure H_2O was negligible. The rate constant of the unprotonated form of the esters with OH^- ions was relatively independent of ester structure, but the rate constant for the protonated form, which was much larger, increased with increasing thermodynamic dissociation constant of the ester (in the sense of acid dissociation). A linear correlation with Taft substituent consts. was observed for the N-alkyl substituents. This is explained by the presence of an intramol. H bond in the esters in the protonated state, which in effect **catalyzed** the hydrolysis. Rate consts. for the hydrolysis are tabulated.

L5 ANSWER 96 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 94

ACCESSION NUMBER: 1961:124621 CAPLUS
 DOCUMENT NUMBER: 55:124621
 ORIGINAL REFERENCE NO.: 55:23431f-i
 TITLE: **Synthesis** of alkyl esters of α, β -diphenyl- β -aminopropionic acids from alkyl phenylacetates and Schiff bases (or hydramides) in the presence of anhydrous aluminum chloride
 AUTHOR(S): Mollov, N. M.; Bozhilova, M. V.; Baeva, V. I.
 SOURCE: Doklady Bolgarskoi Akademii Nauk (1960), 13, 307-10
 CODEN: DBANAD; ISSN: 0366-8681
 DOCUMENT TYPE: Journal
 LANGUAGE: Russian

AB The addition reaction of Schiff bases and $\text{PhCH}_2\text{CO}_2\text{Et}$ in the presence of AlCl_3 discovered by Kurtev and M. (CA 50, 3416f) was extended to other esters of $\text{PhCH}_2\text{CO}_2\text{H}$. A mixture of 0.01 mole $\text{PhCH}:\text{NR}$ and 0.01 mole $\text{PhCH}_2\text{CO}_2\text{R}'$ was dissolved in 1-2.5 ml. C_6H_6 and 0.005-0.01 mole AlCl_3 was added. A vigorous, exothermic reaction occurred and the color of the mixture changed. After the mixture was heated or kept at room temperature, excess dilute HCl was added to precipitate β -anilinoesters or HCl salts of β -amino- or β -**alkylaminoesters**. The ppts. were collected and recrystd. from EtOH or C_6H_6 , or mixts. with Et_2O or petr. ether. The following $\text{PhCH}(\text{NHR.A})\text{CHPhCO}_2\text{R}'$ were **prepared** (R, R', A, % yield, m.p. given): H, Me, HCl (I), 28, 226-7°; Ph, Me, - (II), 52, 136-7.5°; H, Bu, HCl (III), 47, 223-4°; Ph, Bu, - (IV), 45, 135-6°; H, Ph, HCl (V), 48, 228-30°; Ph, Ph, - (VI), 47, 141-2°; H, PhCH_2 , HCl (VII), 20, 210-12°; Me, PhCH_2 , HCl (VIII), 45, 192-3°; Ph, PhCH_2 , - (IX), 58, 149-51°. In the **preparation** of I, III, V, and VII, only 0.005 mole hydrobenzamide was used, and the mixture was heated 2 hrs. at 70-5°. In the other expts., the reaction mixture was kept 20-30 min. at room temperature before acidification. A by-product of an unknown structure, m.p. 198-9°, was obtained in low yields in the reactions which formed III and V, as

well as in the reaction of hydrobenzamide and $\text{PhCH}_2\text{CO}_2\text{Et}$. The by-product contained N, but no Cl. The compns. of I-IX were shown by double Kjeldahl N analyses. The structures of II, IV, VI, and IX were shown by their hydrolysis (KOH in EtOH) to one or both diastereoisomers of $\text{PhCH}(\text{NHPH})\text{CHPhCO}_2\text{H}$. I, III, V and VII were converted to 5,6-diphenyldihydrouracil by heating them (steam bath) 2 hrs. with excess KCN in $\text{H}_2\text{O}-\text{Et}_2\text{O}$.

L5 ANSWER 97 OF 98 CAPLUS COPYRIGHT 2004 ACS on STN DUPLICATE 95

ACCESSION NUMBER: 1959:39918 CAPLUS

DOCUMENT NUMBER: 53:39918

ORIGINAL REFERENCE NO.: 53:7163e-i,7164a-h

TITLE: Physiologically active compounds. II. Hydrochlorides of **aminoesters** of substituted benzilic and glycolic acids

AUTHOR(S): Buehler, C. A.; Smith, H. A.; Glenn, D. M.; Nayak, K. V.

CORPORATE SOURCE: Univ. of Tennessee, Knoxville

SOURCE: Journal of Organic Chemistry (1958), 23, 1432-7

CODEN: JOCEAH; ISSN: 0022-3263

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

OTHER SOURCE(S): CASREACT 53:39918

AB cf. C.A. 51, 17843h. Aminoester hydrochlorides of 39 substituted benzilic and glycolic acids were **synthesized**; 2 of them appear to be more active in exptl. animals than atropine in preventing mortality from an anticholinesterase compound, and 4 of them exhibit the highest anticholinergic activity. One compound previously reported offers some advantage over these as an anticholinergic. β -Aminoethyl chlorides were **prepared** by the procedures given in the previous paper. Tetrahydrofurfuryl alc. with SOCl_2 gave 73% tetrahydrofurfuryl chloride (I). I, NH_4Et_3 , and NaI gave 53% N,N-diethyltetrahydrofurfurylamine (II). II was converted by HBr to 80% N-ethyl-3-hydroxypiperidine (III). III with SOCl_2 gave N-ethyl-3-chloropiperidine-HCl which with aqueous NaOH gave the free N-ethyl-3-chloropiperidine. The following $\text{RR}'\text{C}(\text{OH})\text{CO}_2(\text{CH}_2)_x\text{R}''\text{HCl}$ were **prepared** by refluxing the proper benzilic acid with the aminoethyl chloride in dry iso-PrOH (R, R', R'', X, % yield, and m.p. given): 2-MeC₆H₄, 2-MeC₆H₄, N-ethyl-3-piperidyl (IV), 0, 69, 186-7°; 3-MeC₆H₄, 3-MeC₆H₄, N-ethyl-3-piperidyl, 0, 81, 150-1°; 4-iso-PrC₆H₄, 4-iso-PrC₆H₄, Et₄N, 2, 64, 181-2°; 2-MeOC₆H₄, 2-MeOC₆H₄, Et₂N, 2, 65, 171-2°; 4-MeOC₆H₄, 4-MeOC₆H₄, Et₂N, 2, 77, 167-8.5°; 4-MeOC₆H₄, 4-MeOC₆H₄, pyrrolidino, 2, 92, 181-2°; 4-MeOC₆H₄, 4-MeOC₆H₄, pyrrolidino (MeBr derivative), 2, 53, 147-8°; 2,3-(MeO)₂C₆H₃, 2,3-(MeO)₂C₆H₃, Et₂N (V), 2, 83, 184-5°; 3,4-(MeO)₂C₆H₃, 3,4-(MeO)₂C₆H₃, Et₂N, 2, 79, 167.5-8.5°; 3,4-methylenedioxyphenyl, Ph, Et₂N (VI), 2, 73, 164-5.5°; 3-PhC₆H₄, Ph, Et₂N, 2, 73, 136-7°; 3-PhC₆H₄, Ph, Et₂N (VII), 2, 60, 178-9°; 4-PhC₆H₄, Ph, piperidyl, 2, 70, 189-90°; 4-PhC₆H₄, Ph, N-ethyl-3-piperidyl (VIII), 0, 65, 149-50°; 3-PhC₆H₄, 3-PhC₆H₄, Et₂N (IX), 2, 59, 158-9°; 3-PhC₆H₄, 3-PhC₆H₄, piperidino, 2, 68, 197-8°; 4-PhC₆H₄, 4-PhC₆H₄, Et₂N, 2, 72, 183-5°; 4-PhC₆H₄, 4-PhC₆H₄, piperidino (X), 2, 47, 192-3°; 4-PhC₆H₄, 4-PhC₆H₄, N-ethyl-3-piperidyl (XI), 0, 74, 190-1°. 2-Phenylbenzilic acid could be **prepared** neither by an analogous procedure from 2-bromobiphenyl through the action of 2-biphenylmagnesium iodide on isonitrosoacetophenone nor through a mixed benzoin condensation of BzH and 2-PhC₆H₄CHO (XIa). The Grignard reagent of 3-bromobiphenyl (XII) reacted with N-methylformanilide to form 3-phenylbenzaldehyde (XIII) which was subjected to the benzoin condensation to give 3,3'-diphenylbenzoin (XIV). XIV was oxidized with

CuSO₄ in C₅H₅N to the corresponding benzil (XV) which on rearrangement with KOH gave 3,3'-diphenylbenzilic acid (XVI). 2,2'-Diphenylbenzilic acid could not be produced because of the failure of XIa to undergo the benzoin condensation. XII and Et phenylglyoxylate (XVII) were prepared by known methods. XII (23.4 g.) in 300 ml. Et₂O added dropwise to 2.51 g. Mg and Et₂O under N, the solution refluxed 2 hrs., the Grignard solution added dropwise to 17.8 g. XVII in 200 ml. Et₂O, the solution refluxed 2 hrs., 250 ml. dilute HCl added, the Et₂O layer separated, the H₂O portion extracted with more Et₂O, the exts. combined, and distilled gave 18 g. Et 3-phenylbenzilate (XVIII), b₁ 213-18°. XVIII (18 g.) in 30 ml. alc. refluxed 3 hrs. with 20 g. KOH in 100 ml. H₂O, diluted with H₂O, acidified, and the precipitate collected gave 11 g. 3-phenylbenzilic acid, m. 127-8° (C₆H₆). XII (23.4 g.) in 250 ml. Et₂O treated with 2.51 g. Mg, then 13.5 g. N-methylformanilide added during 2 hrs., stirred 1 hr., decomposed, and separated gave 14 g. XIII, b₂ 138-44°; 2,4-dinitrophenylhydrazone, m. 234-5°. XIII (8 g.), 3 g. KCN, 40 ml. H₂O, and 80 ml. alc. refluxed 10 hrs., cooled, diluted with H₂O, extracted with Et₂O, dried, and distilled gave 6 g. orange oil. This oil, 14 g. CuSO₄, 100 ml. C₅H₅N, and 30 ml. H₂O refluxed 6 hrs., the mixture poured onto ice and H₂O, the liquid decanted, and the solid dissolved in alc. gave 2.7 g. XV, m. 119-20° (MeOH); quinoxaline, m. 156°. XV (8 g.) in 300 ml. Et₂O left 24 hrs. with frequent shaking with 4 g. Na in 50 ml. 95% alc. and 25 ml. absolute alc., the solution extracted with H₂O, the aqueous solution extracted with Et₂O, heated to 90°, and acidified gave 3 g. crude XVI, m. 155-7° (C₆H₆). RR'C(OH)CO₂CH₂CH₂NEt₂.HCl (XIX) were prepared by dissolving 0.01 mole corresponding benzilate in AcOH, hydrogenating at 3 atmospheric over 0.1 g. Pt catalyst until reduction was complete, removing the catalyst and AcOH, and crystallizing the solid to give pure XIX. The following XIX were thus prepared (R, R', % yield, and m.p. given): C₆H₁₁, C₆H₁₁, 72, 258-9°; C₆H₁₁, C₆H₁₁, 35, 212-13°; 2-MeC₆H₁₀, C₆H₁₁, 76, 165-6.5°; 3-MeC₆H₁₀, C₆H₁₁, 86, 181-2°; 4-MeC₆H₁₀, C₆H₁₁ (XX), 87, 190.5-2.0°; 2-MeC₆H₁₀, 2-MeC₆H₁₀, 80, 163.5-4.5°; 2,3-Me₂C₆H₉, C₆H₁₁, 79, 174-5°; 2,4-Me₂C₆H₉, C₆H₁₁, 79, 155-6°; 2,6-Me₂C₆H₉, C₆H₁₁, 81, 181-2°; 3,4-Me₂C₆H₉, C₆H₁₁, 80, 177.5-8.5°; 3,5-Me₂C₆H₉, C₆H₁₁, 73, 171.5-3.0°; 3-MeC₆H₁₀, 3-MeC₆H₁₀, 84, 178.5-9.5°; 4-MeC₆H₁₀, 4-MeC₆H₁₀, 82, 187-8°; 2,3,5-Me₃C₆H₈, C₆H₁₁, 76, 193-4°; 3,4,5-Me₃C₆H₈, C₆H₁₁ (XXI), 90, 216.5-18.0°; 3,5-Me₂C₆H₉, 3,5-Me₂C₆H₉, 84, 183-4°; 4-iso-PrC₆H₁₀, 4-iso-PrC₆H₁₀, 84, 185-7°; 3-C₆H₁₁C₆H₁₀, C₆H₁₁, 43, 133-4°; 4-C₆H₁₁C₆H₁₀, C₆H₁₁, 74, 174.5-5.5°; 2,3,6-Me₃C₆H₈, C₆H₁₁, 76, 199-200°. The above method was used to prepare all of the above XIX except with the di-C₆H₁₁ member in which the unreduced ester was prepared by the method of Hill and Holmes (U.S. 2,294,770) wherein the Me ester was refluxed with the appropriate amino alc. These compds. were tested for anticholinesterase activity, blood pressure, gut, respiration, and eye effects. VII and VIII appeared to be more active than atropine in preventing mortality from an anticholinesterase compound. The most active anticholinergic compds. are VI, XX, and XXI. VI and XXI are surpassed in activity by a previously prepared compound; this compound has much more marked effects on blood pressure and respiration than any of the 4 new compds. Compds. effective in dilating the pupil of the eye without significant irritant action are IV, V, VI, VIII, X, and XI. 3-PhC₆H₄CPh(OH)CO₂(CH₂)₂NEt₂.HCl and IX, which resemble V and VI in being diethylaminoethanol derivs., are as active as the latter 2 compds. in dilating the pupil, but are definitely irritating.

10/660,345

ACCESSION NUMBER: 1954:55141 CAPLUS
DOCUMENT NUMBER: 48:55141
ORIGINAL REFERENCE NO.: 48:9726i,9727a
TITLE: Detergents of sulfated fatty hydroxyamides and of
fatty **hydroxyaminoesters** derived from fatty
acids
INVENTOR(S): Utiel, Luis Ayuso
PATENT ASSIGNEE(S): Pulcra Ltda.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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ES 204372		19530124	ES	
AB	Mix cold 300 kg. of palmitic acid with 90 kg. of triethanolamine to make the soap. Add 10.7 kg. of anhydrous ZnCl ₂ . Heat slowly to 100° and with a pressure 3.5 atmospheric of N and hold for 3/4 h. with intense agitation. Cool to 80° and reduce the pressure to atmospheric pressure. Add 200 kg. of H ₂ O at 80° and sep. the supernatant oil from the alkaline waters. When cold sulfonate the 365 kg. of condensate for 1/2 h. with 180 kg. of ClSO ₃ H in an open vessel while agitating slowly. Neutralize the sulfated product with 500 kg. of NaHCO ₃ . A white powder (903 kg.) of excellent detergent properties is obtained of formula RCOOC ₂ H ₄ N(C ₂ H ₄ OH)C ₂ H ₄ OSO ₃ Na. Examples with bagasse oil are also given.			

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